Noble

Access DB# 146293

# SEARCH REQUEST FORM

**Scientific and Technical Information Center**

Requester's Full Name: BEN SACKEY Examiner #: 73489 Date: 2/28/05
Art Unit: 1626 Phone Number ~~30~~ 2-0704 Serial Number: 10/049,725
Mail Box and Bldg/Room Location: REM 5B31 Results Format Preferred (circle): PAPER DISK E-MAIL

**If more than one search is submitted, please prioritize searches in order of need.**

**********

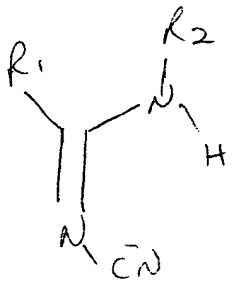
Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: Substituted n-cyano amidines

Inventors (please provide full names): Gesing et al.

Earliest Priority Filing Date: _____

***For Sequence Searches Only* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.**




$R_1$ and $R_2$ are as defined in claims 1 and two.

Thanks

**********

| STAFF USE ONLY | Type of Search | | Vendors and cost where applicable | |
|---|---|---|---|---|
| Searcher: Nikki | NA Sequence (#) | | STN | 5321 |
| Searcher Phone #: | AA Sequence (#) | | Dialog | |
| Searcher Location: | Structure (#) | 2 | Questel/Orbit | |
| Date Searcher Picked Up: | Bibliographic | 1 | Dr.Link | |
| Date Completed: 3/8/05 | Litigation | | Lexis/Nexis | |
| Searcher Prep & Review Time: 10 | Fulltext | | Sequence Systems | |
| Clerical Prep Time: | Patent Family | | WWW/Internet | |
| Online Time: 10 | Other | | Other (specify) | |

PTO-1590 (8-01)

# STIC Search Report
## Biotech-Chem Library

STIC Database Tracking Number: 146293

| | |
|---|---|
| **TO: Ben Sackey**<br>**Location: 5c31/5c18**<br>**Art Unit: 1626**<br>**Tuesday, March 08, 2005**<br><br>**Case Serial Number: 10/049725** | **From: Noble Jarrell**<br>**Location: Biotech-Chem Library**<br>**Rem 1B71**<br>**Phone: 272-2556**<br><br>**Noble.jarrell@uspto.gov** |

## Search Notes

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=> d his

     (FILE 'HOME' ENTERED AT 07:28:20 ON 08 MAR 2005)

     FILE 'REGISTRY' ENTERED AT 07:28:24 ON 08 MAR 2005
L1              STR
L2           13 L1
L3          297 L1 FULL
                SAV TEM L3 SAC725F0/A

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L4        45118 BAYER/CS.PA
                E GESING E/AU
L5          104 E4-10
                E HENSE A/AU
L6           12 E3-4
                E KATHER K/AU
L7           42 E3.E5
                E LEHR S/AU
L8           92 E3.E9-11
                E RIEBEL H/AU
L9          321 E3-14
                E ROHE L/AU
L10          45 E3-4
                E VOIGT K/AU
L11         291 E3-5.E15
                E DREWES M/AU
L12         250 E3-8
                E FEUCHT D/AU
L13         172 E3.E6
                E PONTZEN R/AU
L14         134 E3-4
                E WETCHOLOWSKY I/AU
L15          61 E3-4
L16          52 L3
L17           3 L16 AND L4-15
L18          49 L16 NOT L17

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L21          42 L18 AND L20
                SEL HIT RN L21

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L22         232 E1-232
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L23         140 E233-372

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L24          18 L23 AND L21

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FILE 'REGISTRY' ENTERED AT 07:58:42 ON 08 MAR 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.
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STRUCTURE FILE UPDATES: 6 MAR 2005 HIGHEST RN 843607-47-6
DICTIONARY FILE UPDATES: 6 MAR 2005 HIGHEST RN 843607-47-6

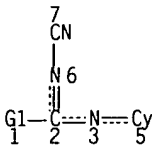
TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=> d que sta l3
L1 STR



VAR G1=H/AK/CY
NODE ATTRIBUTES:
CONNECT IS E2 RC AT 3
CONNECT IS E2 RC AT 6
DEFAULT MLEVEL IS ATOM
GGCAT IS PCY AT 5
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 6

STEREO ATTRIBUTES: NONE
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100.0% PROCESSED 16771 ITERATIONS 297 ANSWERS
SEARCH TIME: 00.00.49

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FILE 'HCAPLUS' ENTERED AT 07:58:51 ON 08 MAR 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.


FILE COVERS 1907 - 8 Mar 2005 VOL 142 ISS 11

FILE LAST UPDATED: 7 Mar 2005 (20050307/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d all fhitstr l17 tot

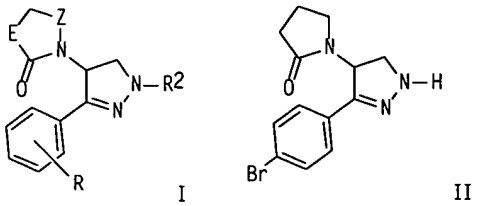
L17 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2005:76262 HCAPLUS
DN 142:176835
ED Entered STN: 28 Jan 2005
TI Preparation of 3-phenyl-2-pyrazolines as PAR-1 antagonists for treatment of cardiovascular diseases
IN Allerheiligen, Swen; Brohm, Dirk; Diedrichs, Nicole; Froehlen, Britta-Nicole; Gerdes, Christoph; Gnoth, Mark Jean; Heckroth, Heike; Huebsch, Walter; Perzborn, Elisabeth; Stahl, Elke; Voehringer, Verena
PA **Bayer** Healthcare A.-G., Germany
SO PCT Int. Appl., 183 pp.
CODEN: PIXXD2
DT Patent
LA German
IC ICM A61K031-4155
ICS A61P009-00; C07D403-04; C07D409-14; C07D405-14; C07D401-14; C07D413-04; C07D417-04; C07D403-14; C07D401-04; C07D417-14; C07D413-14
CC 28-8 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2005007157 | A1 | 20050127 | WO 2004-EP7227 | 20040702 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| | RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | DE 102004010545 | A1 | 20050224 | DE 2004-102004010545 | 20040304 |
| PRAI | DE 2003-10331951 | A | 20030715 | | |
| | DE 2004-102004010545 | A | 20040304 | | |

CLASS

| PATENT NO. | CLASS | PATENT FAMILY CLASSIFICATION CODES |
|---|---|---|
| WO 2005007157 | ICM | A61K031-4155 |
| | ICS | A61P009-00; C07D403-04; C07D409-14; C07D405-14; C07D401-14; C07D413-04; C07D417-04; C07D403-14; C07D401-04; C07D417-14; C07D413-14 |

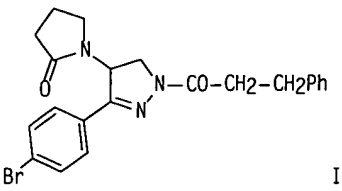
GI




I




II




III

AB Title compds. I [R = (R1)m; Z = (CH2)n; m = 0-3; n = 1-3; R1 = halo, OH, NH2, etc.; E = CH2, NH, O, etc.; R2 = COX, CONHY, CSNHY, etc.; X = R3, fluoro-substituted alkylen-R4; Y = R3, fluoro-substituted alkylen-R4; R3 = 1,3-benzodioxol, 2,2-difluoro-1,3-benzodioxol, 2,3-dihydro-1,4-benzodioxin, etc.; R4 = H, 1,3-benzodioxol, 2,2-difluoro-1,3-benzodioxol, etc.] and their pharmaceutically acceptable salts and formulations were prepared For example, 3-phenylpropionyl chloride N-acylation of pyrazole II, e.g., prepared from 4-bromophenacyl bromide in 2-steps, afforded phenylpyrazoline III in 72% yield. In PAR-1 antagonist assays, 10-examples of compds. I exhibited IC50 values ranging from 2-220 nM. Compds. I are claimed to be useful for the treatment of cardiovascular and thromboembolic diseases.

ST phenylpyrazoline prepn PAR1 antagonist; cardiovascular agent prepn PAR1 antagonist; antithrombotic agent prepn PAR1 antagonist

IT Thrombin receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(PAR-1 (proteinase-activated receptor 1); preparation of phenylpyrazolines as PAR-1 antagonists for treatment of cardiovascular diseases)

IT Proteinase-activated receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(PAR-1; preparation of phenylpyrazolines as PAR-1 antagonists for treatment of cardiovascular diseases)

IT Cardiovascular agents
Human
(preparation of phenylpyrazolines as PAR-1 antagonists for treatment of cardiovascular diseases)

IT Embolism
(thromboembolism, treatment of; preparation of phenylpyrazolines as PAR-1 antagonists for treatment of cardiovascular diseases)

IT Anticoagulants
Cardiovascular system, disease
(treatment of; preparation of phenylpyrazolines as PAR-1 antagonists for treatment of cardiovascular diseases)

IT 153337-19-0P 179537-57-6P 179537-60-1P 832748-23-9P 832748-24-0P
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenylpyrazolines as PAR-1 antagonists for treatment of cardiovascular diseases)

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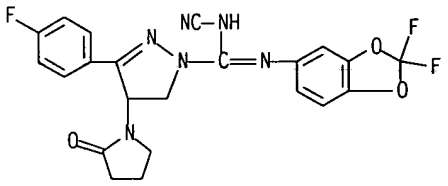
832756-89-5P 832756-90-8P 832756-91-9P 832756-92-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenylpyrazolines as PAR-1 antagonists for treatment of cardiovascular diseases)

IT 50-00-0, Formaldehyde, reactions 64-04-0, (2-Phenylethyl)amine
77-78-1, Dimethylsulfate 99-73-0 106-47-8, 4-Chloroaniline, reactions
107-85-7, 3-Methylbutan-1-amine 108-91-8, Cyclohexylamine, reactions
109-73-9, Butylamine, reactions 110-58-7, n-Pentylamine 140-77-2,
Cyclopentanepropanoic acid 143-33-9, Sodium cyanide 403-29-2
460-39-9, 3,3,3-Trifluoropropan-1-amine 497-25-6, 1,3-Oxazolidin-2-one
530-62-1 536-38-9 616-45-5, 2-Pyrrolidinone 645-45-4,
3-Phenylpropionyl chloride 1505-47-1, 4-Thiophenbutanoic acid
1943-82-4, (2-Isocyanatoethyl)benzene 2038-57-5, 3-Phenylpropan-1-amine
2525-62-4, Hexylisocyanate 2706-56-1, (2-Pyridin-2-ylethyl)amine
3218-02-8, 1-Cyclohexylmethanamine 4441-63-8, Cyclohexanebutanoic acid
5391-39-9, 1-Acetylimidazolidin-2-one 5452-35-7, Cycloheptylamine
7803-57-8, Hydrazine hydrate 13078-79-0, 2-(3-Chlorophenyl)ethanamine
13078-80-3, 2-(2-Chlorophenyl)ethylamine 17247-58-4,
Bromomethylcyclobutane 22236-10-8, 4-Difluoromethoxyphenylamine
30433-91-1, 2-(2-Thienyl)ethanamine 34857-66-4 39479-97-5
39825-36-0, Isopropyl-.beta.-alaninate 54303-30-9,
2-(Ethylmercapto)ethylamine Hydrochloride 55204-93-8,
2-Chlorobenzylisocyanate 59311-67-0, 2-(3-Thienyl)ethanamine
75653-86-0, 3-(1H-Pyrazol-1-yl)propan-1-amine 79463-77-7 832753-65-8,
3-[(4-tert-Butylcyclohexyl)oxy]propan-1-amine 832753-69-2,
1-[3-(Trifluoromethyl)cyclohexyl]methanamine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of phenylpyrazolines as PAR-1 antagonists for treatment of cardiovascular diseases)

IT 4426-03-3P, Cyclobutylacetonitrile 43003-15-2P 60637-97-0P,
2-Cyclobutylethylamine 148668-51-3P 148668-54-6P 153337-72-5P
153337-77-0P, 1-[3-(4-Fluorophenyl)-4,5-dihydro-1H-pyrazol-4-yl]pyrrolidin-2-one 470477-87-3P, 5-Methoxy-3,4-dihydro-2H-pyrrole 832753-10-3P,
1-[2-(4-Bromophenyl)-2-oxoethyl]pyrrolidin-2-one 832753-13-6P,
1-[2-(4-Fluorophenyl)-2-oxoethyl]pyrrolidin-2-one 832753-16-9P
832753-18-1P 832753-20-5P 832753-22-7P 832753-24-9P 832753-27-2P,
3-[2-(4-Bromophenyl)-2-oxoethyl]-1,3-oxazolidin-2-one 832753-29-4P,
1-Acetyl-3-[2-(4-bromophenyl)-2-oxoethyl]imidazolidin-2-one 832753-35-2P
832753-37-4P 832753-39-6P 832753-41-0P 832753-44-3P 832753-46-5P
832753-47-6P, 1-[1-(4-Chlorobenzoyl)vinyl]pyrrolidin-2-one 832753-51-2P
832753-53-4P 832753-56-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of phenylpyrazolines as PAR-1 antagonists for treatment of cardiovascular diseases)

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) Ahn, H; DRUGS OF THE FUTURE 2001, V26(11), P1065 HCAPLUS
(2) Bayer Ag; EP 0529451 A 1993 HCAPLUS
(3) Bayer Ag; EP 0532918 A 1993 HCAPLUS

(4) Bayer Ag; EP 0591780 A 1994 HCAPLUS
(5) Erdelen, C; WO 9324463 A 1993 HCAPLUS
(6) Rohm & Haas; EP 0466408 A 1992 HCAPLUS
IT **832749-33-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenylpyrazolines as PAR-1 antagonists for treatment of cardiovascular diseases)
RN 832749-33-4 HCAPLUS
CN 1H-Pyrazole-1-carboximidamide, N-cyano-N'-(2,2-difluoro-1,3-benzodioxol-5-yl)-3-(4-fluorophenyl)-4,5-dihydro-4-(2-oxo-1-pyrrolidinyl)- (9CI) (CA INDEX NAME)



L17 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2000:807725 HCAPLUS
DN 133:350059
ED Entered STN: 16 Nov 2000
TI Herbicidal N-cyano-amidines
IN **Gesing, Ernst R. F.**; **Hense, Achim**; **Kather, Kristian**; **Lehr, Stefan**; **Riebel, Hans-Jochem**; **Rohe, Lothar**; Voigt, Katharina; **Drewes, Mark Wilhelm**; **Feucht, Dieter**; **Pontzen, Rolf**; **Wetcholowsky, Ingo**
PA **Bayer** A.-G., Germany
SO Ger. Offen., 14 pp.
CODEN: GWXXBX
DT Patent
LA German
IC ICM C07C261-04
ICS A01N047-40; C07D215-38; C07D221-04; C07D333-50; C07D335-06; C07D311-04
CC 25-24 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
Section cross-reference(s): 5
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | DE 19921886 | A1 | 20001116 | DE 1999-19921886 | 19990512 |
| | CA 2373429 | AA | 20001123 | CA 2000-2373429 | 20000504 |
| | WO 2000069813 | A1 | 20001123 | WO 2000-EP4013 | 20000504 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| | BR 2000010447 | A | 20020213 | BR 2000-10447 | 20000504 |
| | EP 1178956 | A1 | 20020213 | EP 2000-929495 | 20000504 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |

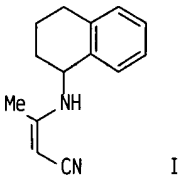
| | | | | | |
|---|---|---|---|---|---|
| | JP 2002544255 | T2 | 20021224 | JP 2000-618230 | 20000504 |
| | AU 765922 | B2 | 20031002 | AU 2000-47553 | 20000504 |
| PRAI | DE 1999-19921886 | A | 19990512 | | |
| | WO 2000-EP4013 | W | 20000504 | | |

CLASS

| PATENT NO. | CLASS | PATENT FAMILY CLASSIFICATION CODES |
|---|---|---|
| DE 19921886 | ICM | C07C261-04 |
| | ICS | A01N047-40; C07D215-38; C07D221-04; C07D333-50; C07D335-06; C07D311-04 |
| DE 19921886 | ECLA | A01N047/40; C07C261/04; C07D333/54B |

OS MARPAT 133:350059

GI




I

AB N-Cyano-amidines R2NHCR1:NCN [R1 = H, (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, aralkyl; R2 = (un)substituted benzannellated, pyridoannellated, thienoannellated cycloalk(en)yl, oxacycloalk(en)yl or thiacycloalk(en)yl] were prepared for use as herbicides. Thus, NCN:CMeOMe was treated with 1,2,3,4-tetrahydro-1-naphthylamine to give the cyano amidine I. I was effective in both pre- and post-emergence tests.

ST cyano amidine prepn herbicide

IT Herbicides
(preparation of herbicidal N-cyano-amidines)

IT **306284-52-6P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of herbicidal N-cyano-amidines)

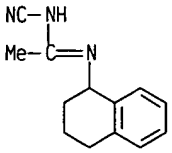
IT **255374-61-9P 255374-62-0P 255374-63-1P**
**255374-64-2P 255374-65-3P 255374-66-4P**
**306284-53-7P 306284-54-8P 306284-55-9P**
**306284-56-0P 306284-57-1P 306284-58-2P**
**306284-59-3P 306284-60-6P 306284-61-7P**
**306284-62-8P 306284-63-9P 306284-64-0P**
**306284-65-1P 306284-66-2P 306284-67-3P**
**306284-68-4P 306284-69-5P 306284-70-8P**
RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of herbicidal N-cyano-amidines)

IT 2217-40-5, 1,2,3,4-Tetrahydro-1-naphthylamine 5652-84-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of herbicidal N-cyano-amidines)

IT **306284-52-6P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of herbicidal N-cyano-amidines)

RN 306284-52-6 HCAPLUS

CN Ethanimidamide, N-cyano-N'-(1,2,3,4-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)



L17 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2000:54236 HCAPLUS
DN 132:107782
ED Entered STN: 23 Jan 2000
TI Preparation of N-arylalkylimidamides as pesticides
IN **Riebel, Hans-Jochem**; Gerdes, Peter; **Gesing, Ernst Rf.**; **Hense, Achim**; Kanellakopulos, Johannes; **Kather, Kristian** ; Kirsten, Rolf; **Lehr, Stefan**; **Rohe, Lothar**; Voigt, Katharina; Wollweber, Detlef; Andersch, Wolfram
PA **Bayer** A.-G., Germany
SO Ger. Offen., 66 pp.
CODEN: GWXXBX
DT Patent
LA German
IC ICM C07C261-04
ICS C07C323-32; C07C317-32; C07C257-22; A01N037-52; C07D333-04; C07D325-00; C07D315-00; C07D277-22
CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
Section cross-reference(s): 5
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | DE 19924273 | A1 | 20000120 | DE 1999-19924273 | 19990527 |
| | CA 2337773 | AA | 20000127 | CA 1999-2337773 | 19990707 |
| | WO 2000003976 | A1 | 20000127 | WO 1999-EP4747 | 19990707 |
| | W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| | AU 9951573 | A1 | 20000207 | AU 1999-51573 | 19990707 |
| | BR 9912242 | A | 20010410 | BR 1999-12242 | 19990707 |
| | EP 1097128 | A1 | 20010509 | EP 1999-936489 | 19990707 |
| | EP 1097128 | B1 | 20040512 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI | | | | |
| | JP 2002520385 | T2 | 20020709 | JP 2000-560085 | 19990707 |
| | AT 266631 | E | 20040515 | AT 1999-936489 | 19990707 |
| | ES 2221407 | T3 | 20041216 | ES 1999-936489 | 19990707 |
| | EG 22587 | A | 20030430 | EG 1999-863 | 19990715 |
| | US 6638979 | B1 | 20031028 | US 2001-743588 | 20010205 |
| PRAI | DE 1998-19832447 | A1 | 19980718 | | |
| | DE 1999-19924273 | A | 19990527 | | |
| | WO 1999-EP4747 | W | 19990707 | | |

CLASS

| PATENT NO. | CLASS | PATENT FAMILY CLASSIFICATION CODES |
|---|---|---|
| DE 19924273 | ICM | C07C261-04 |
| | ICS | C07C323-32; C07C317-32; C07C257-22; A01N037-52; C07D333-04; C07D325-00; C07D315-00; C07D277-22 |
| DE 19924273 | ECLA | A01N047/40; C07C261/04; C07C317/46; C07C323/41; |

C07D277/64; C07D307/81; C07D313/12; C07D317/5;
C07D321/12; C07D333/20; C07D333/28
WO 2000003976 ECLA A01N047/40; C07D321/12; C07D333/20; C07D333/28;
C07C261/04; C07C317/46; C07C323/41; C07D277/6;
C07D307/81; C07D313/12; C07D317/58
US 6638979 ECLA A01N047/40; C07C317/46; C07C323/41; C07D277/64;
C07D307/81; C07D313/12; C07D317/58; C07D321/1;
C07D333/20; C07D333/28; C07C261/04

OS MARPAT 132:107782

AB RNH:CR1NR2R3 [R = cyano or NO2; R1 = H, (cyclo)alkyl, Ph, etc.; R2 = H, (cyclo)alkyl, etc.; R3 = CR4R5XR6, YR7, OR8; R4,R5 = H or (un)substituted (cyclo)alkyl; R6,R7 = aryl, cycloalk(en)yl, non-N-containing heterocyclyl, etc.; R8 = alkyl or (un)substituted aryl; X = bond alkylene(oxy), etc.; Y = bond oxyalkylene, etc.] were prepared Thus, (R)-H2NCHMeC6H4Cl-4 was amidated by MeC(:NCN)OMe to give (R)-NCN:CMeNHCHMeC6H4Cl-4 (I). Data for nematocidal activity of I were given.

ST arylalkylimidamide prepn pesticide

IT Pesticides
(N-arylalkylimidamides)

IT Acaricides
Insecticides
Nematocides
(preparation of N-arylalkylimidamides as pesticides)

IT 255372-55-5P 255372-56-6P 255372-57-7P 255372-58-8P 255372-59-9P
255372-60-2P 255372-61-3P 255372-62-4P 255372-63-5P 255372-64-6P
255372-65-7P 255372-66-8P 255372-67-9P 255372-68-0P 255372-69-1P
255372-70-4P 255372-71-5P 255372-72-6P 255372-73-7P 255372-74-8P
255372-76-0P 255372-77-1P 255372-78-2P 255372-79-3P 255372-80-6P
255372-81-7P 255372-82-8P 255372-83-9P 255372-84-0P 255372-85-1P
255372-86-2P 255372-87-3P 255372-88-4P 255372-89-5P 255372-90-8P
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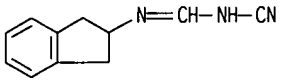
**255374-63-1P** **255374-64-2P** **255374-65-3P**
**255374-66-4P** 255374-67-5P 255374-68-6P 255374-69-7P
**255374-70-0P** **255374-71-1P** 255374-72-2P 255374-73-3P

255374-74-4P 255374-75-5P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-arylalkylimidamides as pesticides)
IT 27298-99-3, (R)-4-Chloro-.alpha.-methylbenzenemethanamine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of N-arylalkylimidamides as pesticides)
IT **255374-61-9P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-arylalkylimidamides as pesticides)
RN 255374-61-9 HCAPLUS
CN Methanimidamide, N-cyano-N'-(2,3-dihydro-1H-inden-2-yl)- (9CI) (CA INDEX NAME)

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L24 ANSWER 1 OF 18 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1996:513746 HCAPLUS
DN 125:157769
ED Entered STN: 28 Aug 1996
TI Synthesis and Selective Coronary Vasodilatory Activity of 3,4-Dihydro-2,2-bis(methoxymethyl)-2H-1-benzopyran-3-ol Derivatives: Novel Potassium Channel Openers
AU Cho, Hidetsura; Katoh, Susumu; Sayama, Shinsuke; Murakami, Kengo; Nakanishi, Hiroyuki; Kajimoto, Yasuyuki; Ueno, Hiroshi; Kawasaki, Hisashi; Aisaka, Kazuo; Uchida, Itsuo
CS Central Pharmaceutical Research Institute, Japan Tabacco Inc., Takatsuki, 569, Japan
SO Journal of Medicinal Chemistry (**1996**), 39(19), 3797-3805
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
CC 1-3 (Pharmacology)
Section cross-reference(s): 27
AB A variety of compds. having a benzopyran such as levcromakalim generally exhibit potent antihypertensive activity. During extensive investigations aimed toward identifying K+ channel openers having selective coronary vasodilation without potent hypotensive and tachycardia effects, we synthesized a series of 3,4-dihydro-2H-1-benzopyran-3-ol derivs. modified at positions 2, 4, and 6 in the benzopyran ring. Initially, compds. having two methoxymethyl groups at position 2 were found to show a selective effect on coronary blood flow (CoBF) relative to mean arterial pressure (MAP) in anesthetized dogs. To find more potent vasodilators, various benzopyran derivs. modified at position 4 were synthesized and structure-activity relationships were examined by evaluation of the extent and duration of the increase in CoBF in anesthetized dogs. As a result, compds. having a (1,6-dihydro-6-oxopyridazin-3-yl)amino group at position 4, in addition to the two methoxymethyl groups at position 2, were found to be more potent and to have an improved duration of action. Among these compds., JTV-506, (-)-(3S,4R)-6-cyano-3,4-dihydro-4-[(1,6-dihydro-1-methyl-6-oxopyridazin-3-yl)amino]-2,2-bis(methoxymethyl)-2H-1-benzopyran-3-ol, exhibited good selectivity for its effect. Administration of this compound

(0.03 mg/kg, po) elicited an increase of CoBF without a change of systemic blood pressure and heart rate (HR) in conscious dogs. Further evaluation was performed with respect to (i) the selectivity of its action on the coronary artery vs. the aorta and (ii) its effects on MAP, HR, and electrocardiog. ST elevation. As a result, JTV-506 was selected as a potent and selective coronary vasodilator with various pharmacol. features favoring clin. development.

ST benzopyranol deriv prepn coronary vasodilator

IT Vasodilators
(coronary, preparation and selective coronary vasodilatory activity of dihydrobis(methoxymethyl)benzopyranol derivs.: novel potassium channel openers)

IT Ion channel openers
(potassium, preparation and selective coronary vasodilatory activity of dihydrobis(methoxymethyl)benzopyranol derivs.: novel potassium channel openers)

IT Molecular structure-biological activity relationship
(vasodilating, preparation and selective coronary vasodilatory activity of dihydrobis(methoxymethyl)benzopyranol derivs.: novel potassium channel openers)

IT **149342-19-8**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(preparation and selective coronary vasodilatory activity of dihydrobis(methoxymethyl)benzopyranol derivs.: novel potassium channel openers)

IT 170148-35-3P 170148-36-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation and selective coronary vasodilatory activity of dihydrobis(methoxymethyl)benzopyranol derivs.: novel potassium channel openers)

IT **168819-36-1P 168819-41-8P 168819-44-1P**
168819-52-1P 168819-55-4P **168819-64-5P 168819-80-5P**
**169273-23-8P** 170148-29-5P 170148-30-8P 170148-41-1P
170148-42-2P 170148-44-4P 170148-59-1P 170148-61-5P 170148-65-9P
170148-67-1P 170148-76-2P 170148-77-3P 170148-78-4P 170148-79-5P
170148-80-8P 170148-81-9P 170148-82-0P 170148-85-3P 170148-87-5P
170148-88-6P 170148-90-0P 170148-91-1P 170148-99-9P 170149-04-9P
170149-06-1P **180307-04-4P 180307-05-5P** 180307-06-6P
180307-07-7P 180307-08-8P 180307-09-9P **180470-15-9P**
180470-16-0P **180470-17-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and selective coronary vasodilatory activity of dihydrobis(methoxymethyl)benzopyranol derivs.: novel potassium channel openers)

IT 103-71-9, Phenyl isocyanate, reactions 106-94-5 586-75-4, p-Bromobenzoyl chloride 623-69-8, 1,3-Dimethoxypropan-2-ol 5436-01-1 5469-69-2, 3-Amino-6-chloropyridazine 10400-19-8, Nicotinoyl chloride 13506-28-0 35794-84-4, 5-Cyano-2-hydroxyacetophenone 41835-08-9, N-Cyano-N'-phenylthiourea 57041-95-9 168819-61-2 180307-10-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and selective coronary vasodilatory activity of dihydrobis(methoxymethyl)benzopyranol derivs.: novel potassium channel openers)

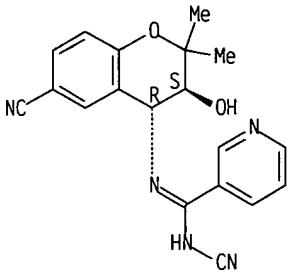
IT 18664-32-9P, 1,3-Dimethoxypropan-2-one 168819-11-2P 168819-12-3P
168819-13-4P 168819-65-6P 168819-66-7P 168819-67-8P 169102-75-4P
169102-76-5P 169102-78-7P 170148-33-1P 170149-21-0P 180470-18-2P
180470-19-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and selective coronary vasodilatory activity of dihydrobis(methoxymethyl)benzopyranol derivs.: novel potassium channel openers)

IT **149342-19-8**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(preparation and selective coronary vasodilatory activity of dihydrobis(methoxymethyl)benzopyranol derivs.: novel potassium channel openers)

RN 149342-19-8 HCAPLUS
CN 3-Pyridinecarboximidamide, N-cyano-N'-(6-cyano-3,4-dihydro-3-hydroxy-2,2-dimethyl-2H-1-benzopyran-4-yl)-, (3S-trans)- (9CI) (CA INDEX NAME)

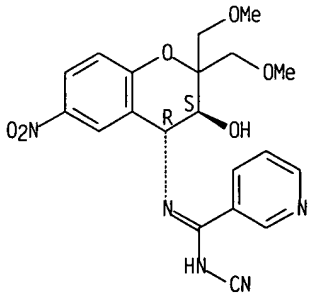
Absolute stereochemistry.
Double bond geometry unknown.




IT **168819-36-1P 168819-41-8P 168819-44-1P**
**168819-64-5P 168819-80-5P 169273-23-8P**
**180307-04-4P 180307-05-5P 180470-15-9P**
**180470-17-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and selective coronary vasodilatory activity of dihydrobis(methoxymethyl)benzopyranol derivs.: novel potassium channel openers)

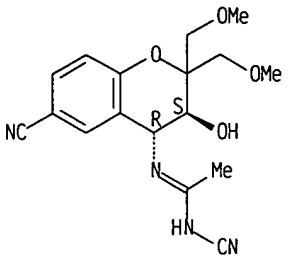
RN 168819-36-1 HCAPLUS
CN 3-Pyridinecarboximidamide, N-cyano-N'-[3,4-dihydro-3-hydroxy-2,2-bis(methoxymethyl)-6-nitro-2H-1-benzopyran-4-yl]-, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



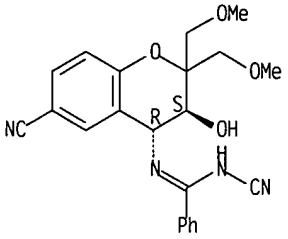

RN 168819-41-8 HCAPLUS
CN Ethanimidamide, N-cyano-N'-[6-cyano-3,4-dihydro-3-hydroxy-2,2-bis(methoxymethyl)-2H-1-benzopyran-4-yl]-, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

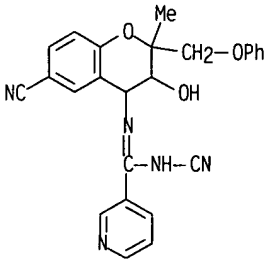


RN 168819-44-1 HCAPLUS
CN Benzenecarboximidamide, N-cyano-N'-[6-cyano-3,4-dihydro-3-hydroxy-2,2-bis(methoxymethyl)-2H-1-benzopyran-4-yl]-, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

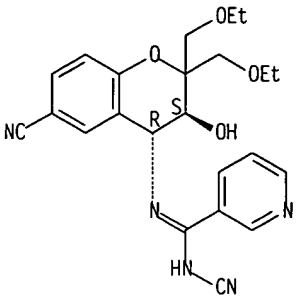


RN 168819-64-5 HCAPLUS
CN 3-Pyridinecarboximidamide, N-cyano-N'-[6-cyano-3,4-dihydro-3-hydroxy-2-methyl-2-(phenoxymethyl)-2H-1-benzopyran-4-yl]- (9CI) (CA INDEX NAME)



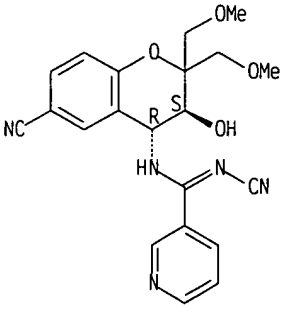
RN 168819-80-5 HCAPLUS
CN 3-Pyridinecarboximidamide, N-cyano-N'-[6-cyano-2,2-bis(ethoxymethyl)-3,4-dihydro-3-hydroxy-2H-1-benzopyran-4-yl]-, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

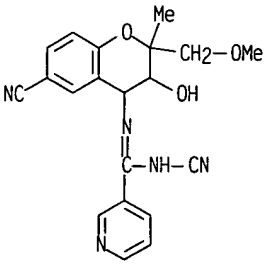


RN 169273-23-8 HCAPLUS
CN 3-Pyridinecarboximidamide, N-cyano-N'-[6-cyano-3,4-dihydro-3-hydroxy-2,2-bis(methoxymethyl)-2H-1-benzopyran-4-yl]-, (3S-trans)- (9CI) (CA INDEX NAME)

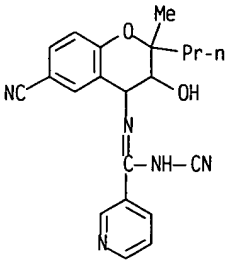
Absolute stereochemistry.
Double bond geometry unknown.



RN 180307-04-4 HCAPLUS
CN 3-Pyridinecarboximidamide, N-cyano-N'-[6-cyano-3,4-dihydro-3-hydroxy-2-(methoxymethyl)-2-methyl-2H-1-benzopyran-4-yl]- (9CI) (CA INDEX NAME)

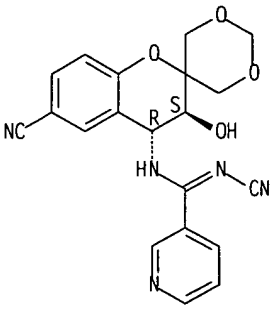


RN 180307-05-5 HCAPLUS
CN 3-Pyridinecarboximidamide, N-cyano-N'-(6-cyano-3,4-dihydro-3-hydroxy-2-methyl-2-propyl-2H-1-benzopyran-4-yl)- (9CI) (CA INDEX NAME)



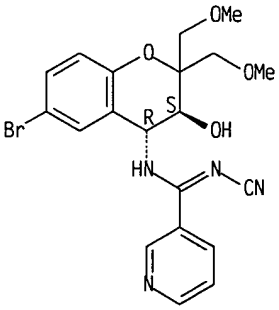
RN 180470-15-9 HCAPLUS
CN 3-Pyridinecarboximidamide, N-cyano-N'-(6-cyano-3,4-dihydro-3-hydroxyspiro[2H-1-benzopyran-2,5'-[1,3]dioxan]-4-yl)-, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 180470-17-1 HCAPLUS
CN 3-Pyridinecarboximidamide, N-[6-bromo-3,4-dihydro-3-hydroxy-2,2-bis(methoxymethyl)-2H-1-benzopyran-4-yl]-N'-cyano-, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



L24 ANSWER 2 OF 18 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1995:849162 HCAPLUS
DN 123:285779
ED Entered STN: 12 Oct 1995
TI Preparation of chroman derivs. as coronary vasodilators
IN Kato, Susumu; Cho, Hidetsura; Sayama, Shinsuke; Kajimoto, Yasuyuki;

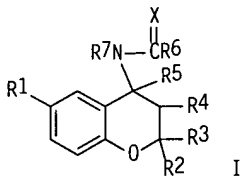
Shibata, Saizo; Mizushima, Atsushi; Yamaki, Tukuo; Uchida, Itsuo
PA Japan Tobacco Inc., Japan
SO PCT Int. Appl., 99 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
IC ICM C07D311-68
ICS C07D311-58; C07D405-12; C07D407-12; C07D409-12; C07D413-12; C07D417-12; C07D493-04; A61K031-35; C07F007-18
CC 27-14 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 9502589 | A1 | 19950126 | WO 1993-JP992 | 19930716 <-- |

W: CA, JP, KR, US
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
PRAI WO 1993-JP992 19930716 <--
CLASS

| PATENT NO. | CLASS | PATENT FAMILY CLASSIFICATION CODES |
|---|---|---|
| WO 9502589 | ICM | C07D311-68 |
| | ICS | C07D311-58; C07D405-12; C07D407-12; C07D409-12; C07D413-12; C07D417-12; C07D493-04; A61K031-35; C07F007-18 |

OS MARPAT 123:285779
GI



I

AB Chroman derivs. I (R1 = cyano, nitro, halogen; R2 = alkoxyalkyl, alkoxycarbonyl, hydroxyalkyl, aryloxyalkyl, tert-butyldimethylsilyoxyalkyl; R3 = H, alkyl, alkoxyalkyl, alkoxycarbonyl, hydroxyalkyl, etc.; R4 = H, OH, formyloxy, alkanoyloxy; R5 = H; R4R5 may form bond; R6 = substituted aryl, heteroaryl, arylamino, aryloxy, alkyl; X = N-CN, O, S; R7 = H, lower alkyl), useful as coronary vasodilators, were prepared Thus, stirring Et N-cyano-3-fluorobenzimidate with (3S,4R)-4-amino-6-cyano-3,4-dihydro-2,2-di(methoxymethyl)-3-hydroxy-2H-1-benzopyran in MeOH at room temperature for 1 day gave N'-cyano-N-[(3S,4R)-6-cyano-3,4-dihydro-2,2-di(methoxymethyl)-3-hydroxy-2H-1-benzopyran-4-yl]-3-fluorobenzamidine (II). II at 30 .mu.g/kg i.v. in dogs increased coronary blood flow with little blood pressure lowering effect.
ST chroman prepn coronary vasodilator
IT Vasodilators
(coronary, preparation of chroman derivs. as coronary vasodilators)
IT **168819-47-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of chroman derivs. as coronary vasodilators)
IT 98-88-4, Benzoyl chloride 103-71-9, reactions 621-87-4, 2-Propanone, 1-phenoxy- 1450-75-5 1450-76-6 1558-82-3, Ethanimidic acid, N-cyano-, ethyl ester 5271-67-0, Thenoyl chloride 5460-70-8,

2-Propanone,1,3-diethoxy- 18664-32-9 20260-53-1, 3-Pyridinecarbonyl chloride, hydrochloride 33490-49-2 35794-84-4, Benzonitrile, 3-acetyl-4-hydroxy- 41835-08-9 79463-77-7 107326-06-7 133300-43-3 133300-49-9 134112-92-8 134113-09-0 149279-00-5, Benzenecarboximidic acid, N-cyano-4-fluoro-, methyl ester 149279-01-6, 3-Pyridinecarboximidic acid, N-cyano-, methyl ester 149279-07-2 149279-08-3 168819-01-0 168819-22-5 168819-49-6 168819-61-2 168819-83-8 169102-74-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of chroman derivs. as coronary vasodilators)

IT 168819-02-1P 168819-03-2P 168819-04-3P 168819-05-4P 168819-06-5P 168819-11-2P 168819-12-3P 168819-13-4P 168819-14-5P 168819-15-6P 168819-17-8P 168819-18-9P 168819-19-0P 168819-20-3P 168819-21-4P 168819-25-8P 168819-26-9P 168819-27-0P 168819-28-1P 168819-29-2P 168819-31-6P 168819-32-7P 168819-34-9P 168819-35-0P 168819-62-3P 168819-63-4P 168819-65-6P 168819-66-7P 168819-67-8P 168819-68-9P 168819-69-0P 168819-71-4P 168819-72-5P 168819-75-8P 168819-76-9P 168819-77-0P 168819-78-1P 168819-79-2P 169102-75-4P 169102-76-5P 169102-77-6P 169102-78-7P 169102-79-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of chroman derivs. as coronary vasodilators)

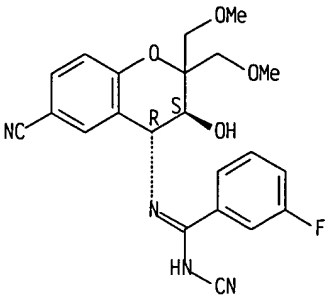
IT 168819-33-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of chroman derivs. as coronary vasodilators)

IT **168819-07-6P 168819-08-7P 168819-09-8P 168819-10-1P 168819-16-7P 168819-23-6P 168819-24-7P 168819-30-5P 168819-36-1P 168819-37-2P 168819-38-3P 168819-39-4P 168819-40-7P 168819-41-8P 168819-42-9P 168819-43-0P 168819-44-1P 168819-45-2P 168819-46-3P 168819-48-5P 168819-50-9P** 168819-51-0P 168819-52-1P 168819-53-2P 168819-54-3P 168819-55-4P 168819-56-5P 168819-57-6P **168819-58-7P** 168819-59-8P 168819-60-1P **168819-64-5P 168819-70-3P 168819-73-6P 168819-74-7P 168819-80-5P 168819-81-6P 168819-82-7P 169273-22-7P 169273-23-8P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of chroman derivs. as coronary vasodilators)

IT **168819-47-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of chroman derivs. as coronary vasodilators)

RN 168819-47-4 HCAPLUS
CN Benzenecarboximidamide, N-cyano-N'-[6-cyano-3,4-dihydro-3-hydroxy-2,2-bis(methoxymethyl)-2H-1-benzopyran-4-yl]-3-fluoro-, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

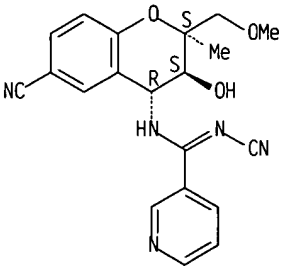


IT **168819-07-6P 168819-08-7P 168819-09-8P**
**168819-10-1P 168819-16-7P 168819-23-6P**
**168819-24-7P 168819-30-5P 168819-36-1P**
**168819-37-2P 168819-38-3P 168819-39-4P**
**168819-40-7P 168819-41-8P 168819-42-9P**
**168819-43-0P 168819-44-1P 168819-45-2P**
**168819-46-3P 168819-48-5P 168819-50-9P**
**168819-58-7P 168819-64-5P 168819-70-3P**
**168819-73-6P 168819-74-7P 168819-80-5P**
**168819-81-6P 168819-82-7P 169273-22-7P**
**169273-23-8P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of chroman derivs. as coronary vasodilators)

RN 168819-07-6 HCAPLUS

CN 3-Pyridinecarboximidamide, N-cyano-N'-[6-cyano-3,4-dihydro-3-hydroxy-2-(methoxymethyl)-2-methyl-2H-1-benzopyran-4-yl]-, (2.alpha.,3.alpha.,4.beta.)- (9CI) (CA INDEX NAME)

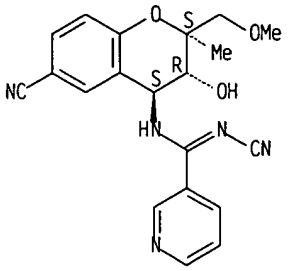
Relative stereochemistry.
Double bond geometry unknown.



RN 168819-08-7 HCAPLUS

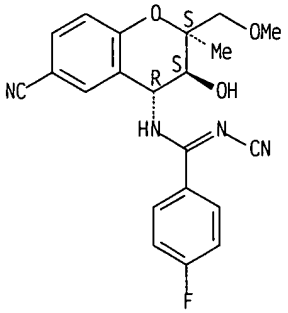
CN 3-Pyridinecarboximidamide, N-cyano-N'-[6-cyano-3,4-dihydro-3-hydroxy-2-(methoxymethyl)-2-methyl-2H-1-benzopyran-4-yl]-, (2.alpha.,3.beta.,4.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



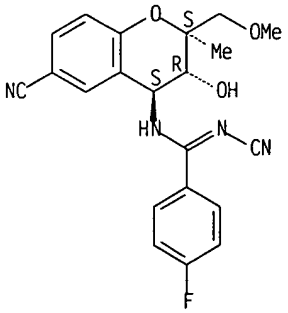
RN 168819-09-8 HCAPLUS
CN Benzenecarboximidamide, N-cyano-N'-[6-cyano-3,4-dihydro-3-hydroxy-2-(methoxymethyl)-2-methyl-2H-1-benzopyran-4-yl]-4-fluoro-, (2.alpha.,3.alpha.,4.beta.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



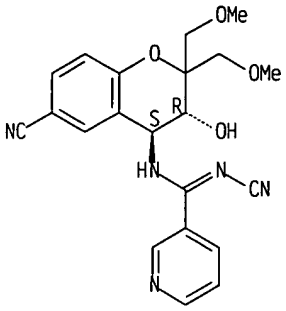
RN 168819-10-1 HCAPLUS
CN Benzenecarboximidamide, N-cyano-N'-[6-cyano-3,4-dihydro-3-hydroxy-2-(methoxymethyl)-2-methyl-2H-1-benzopyran-4-yl]-4-fluoro-, (2.alpha.,3.beta.,4.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



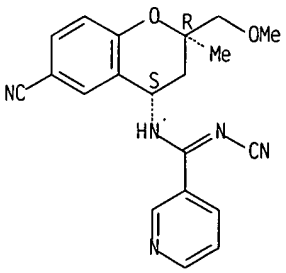
RN 168819-16-7 HCAPLUS
CN 3-Pyridinecarboximidamide, N-cyano-N'-[6-cyano-3,4-dihydro-3-hydroxy-2,2-bis(methoxymethyl)-2H-1-benzopyran-4-yl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



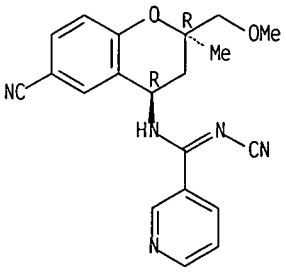

RN 168819-23-6 HCAPLUS
CN 3-Pyridinecarboximidamide, N-cyano-N'-[6-cyano-3,4-dihydro-2-(methoxymethyl)-2-methyl-2H-1-benzopyran-4-yl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



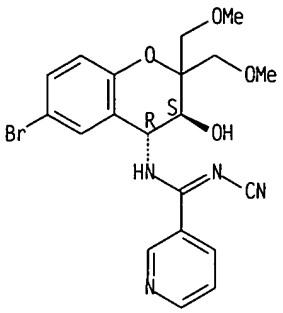

RN 168819-24-7 HCAPLUS
CN 3-Pyridinecarboximidamide, N-cyano-N'-[6-cyano-3,4-dihydro-2-(methoxymethyl)-2-methyl-2H-1-benzopyran-4-yl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



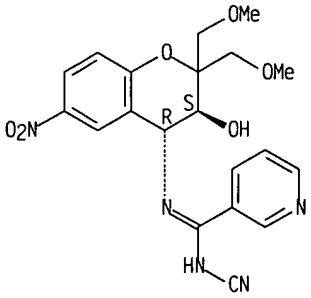

RN 168819-30-5 HCAPLUS
CN 3-Pyridinecarboximidamide, N-[6-bromo-3,4-dihydro-3-hydroxy-2,2-bis(methoxymethyl)-2H-1-benzopyran-4-yl]-N'-cyano-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



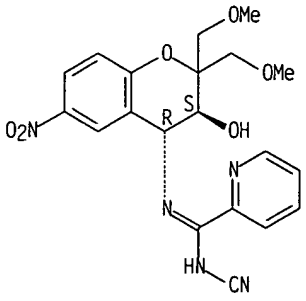
RN 168819-36-1 HCAPLUS
CN 3-Pyridinecarboximidamide, N-cyano-N'-[3,4-dihydro-3-hydroxy-2,2-bis(methoxymethyl)-6-nitro-2H-1-benzopyran-4-yl]-, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



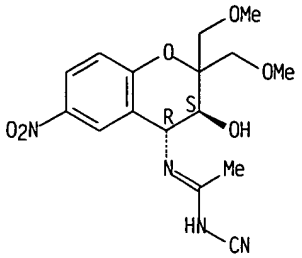
RN 168819-37-2 HCAPLUS
CN 2-Pyridinecarboximidamide, N-cyano-N'-[3,4-dihydro-3-hydroxy-2,2-bis(methoxymethyl)-6-nitro-2H-1-benzopyran-4-yl]-, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



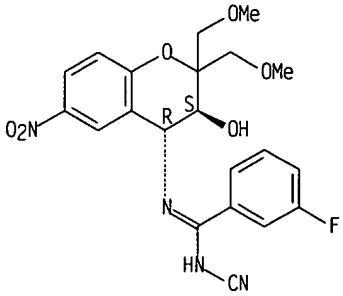
RN 168819-38-3 HCAPLUS
CN Ethanimidamide, N-cyano-N'-[3,4-dihydro-3-hydroxy-2,2-bis(methoxymethyl)-6-nitro-2H-1-benzopyran-4-yl]-, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



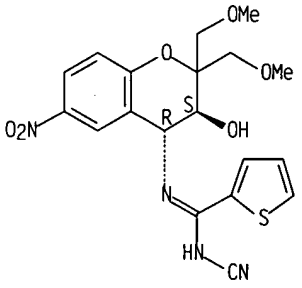
RN 168819-39-4 HCAPLUS
CN Benzenecarboximidamide, N-cyano-N'-[3,4-dihydro-3-hydroxy-2,2-bis(methoxymethyl)-6-nitro-2H-1-benzopyran-4-yl]-3-fluoro-, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



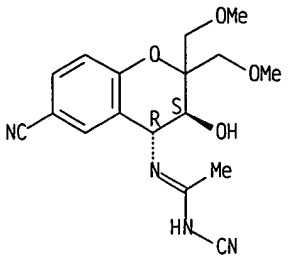
RN 168819-40-7 HCAPLUS
CN 2-Thiophenecarboximidamide, N-cyano-N'-[3,4-dihydro-3-hydroxy-2,2-bis(methoxymethyl)-6-nitro-2H-1-benzopyran-4-yl]-, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



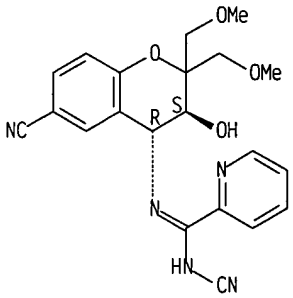
RN 168819-41-8 HCAPLUS
CN Ethanimidamide, N-cyano-N'-[6-cyano-3,4-dihydro-3-hydroxy-2,2-bis(methoxymethyl)-2H-1-benzopyran-4-yl]-, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



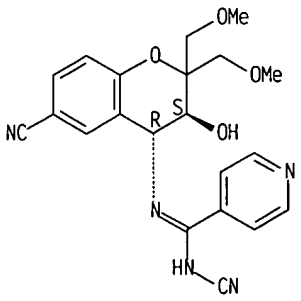
RN 168819-42-9 HCAPLUS
CN 2-Pyridinecarboximidamide, N-cyano-N'-[6-cyano-3,4-dihydro-3-hydroxy-2,2-bis(methoxymethyl)-2H-1-benzopyran-4-yl]-, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



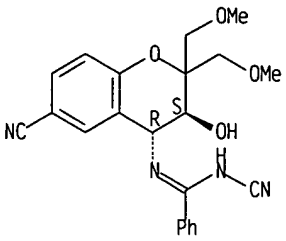
RN 168819-43-0 HCAPLUS
CN 4-Pyridinecarboximidamide, N-cyano-N'-[6-cyano-3,4-dihydro-3-hydroxy-2,2-bis(methoxymethyl)-2H-1-benzopyran-4-yl]-, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



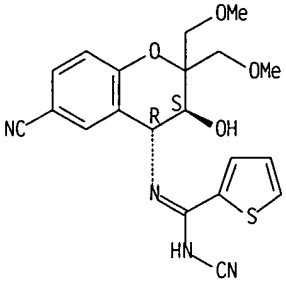
RN 168819-44-1 HCAPLUS
CN Benzenecarboximidamide, N-cyano-N'-[6-cyano-3,4-dihydro-3-hydroxy-2,2-bis(methoxymethyl)-2H-1-benzopyran-4-yl]-, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



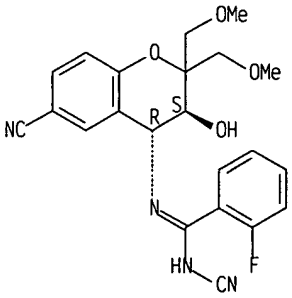
RN 168819-45-2 HCAPLUS
CN 2-Thiophenecarboximidamide, N-cyano-N'-[6-cyano-3,4-dihydro-3-hydroxy-2,2-bis(methoxymethyl)-2H-1-benzopyran-4-yl]-, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



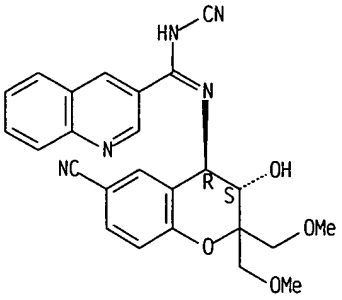
RN 168819-46-3 HCAPLUS
CN Benzenecarboximidamide, N-cyano-N'-[6-cyano-3,4-dihydro-3-hydroxy-2,2-bis(methoxymethyl)-2H-1-benzopyran-4-yl]-2-fluoro-, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



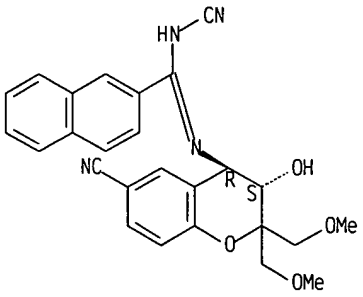
RN 168819-48-5 HCAPLUS
CN 3-Quinolinecarboximidamide, N-cyano-N'-[6-cyano-3,4-dihydro-3-hydroxy-2,2-bis(methoxymethyl)-2H-1-benzopyran-4-yl]-, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



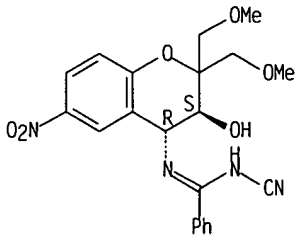
RN 168819-50-9 HCAPLUS
CN 2-Naphthalenecarboximidamide, N-cyano-N'-[6-cyano-3,4-dihydro-3-hydroxy-2,2-bis(methoxymethyl)-2H-1-benzopyran-4-yl]-, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

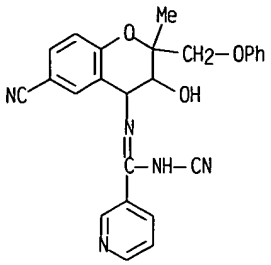


RN 168819-58-7 HCAPLUS
CN Benzenecarboximidamide, N-cyano-N'-[3,4-dihydro-3-hydroxy-2,2-bis(methoxymethyl)-6-nitro-2H-1-benzopyran-4-yl]-, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

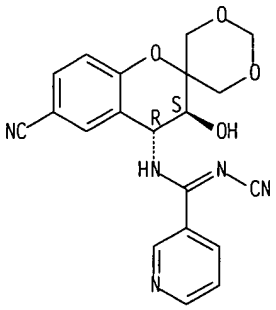


RN 168819-64-5 HCAPLUS
CN 3-Pyridinecarboximidamide, N-cyano-N'-[6-cyano-3,4-dihydro-3-hydroxy-2-methyl-2-(phenoxymethyl)-2H-1-benzopyran-4-yl]- (9CI) (CA INDEX NAME)



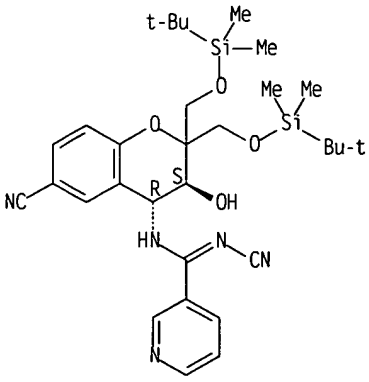
RN 168819-70-3 HCAPLUS
CN 3-Pyridinecarboximidamide, N-cyano-N'-(6-cyano-3,4-dihydro-3-hydroxyspiro[2H-1-benzopyran-2,5'-[1,3]dioxan]-4-yl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



RN 168819-73-6 HCAPLUS
CN 3-Pyridinecarboximidamide, N-cyano-N'-[6-cyano-2,2-bis[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-3,4-dihydro-3-hydroxy-2H-1-benzopyran-4-yl]-, trans- (9CI) (CA INDEX NAME)

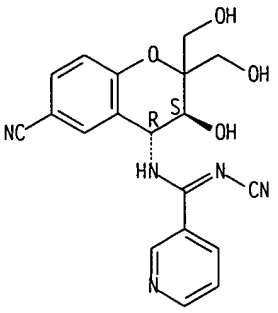
Relative stereochemistry.
Double bond geometry unknown.



RN 168819-74-7 HCAPLUS
CN 3-Pyridinecarboximidamide, N-cyano-N'-[6-cyano-3,4-dihydro-3-hydroxy-2,2-bis(hydroxymethyl)-2H-1-benzopyran-4-yl]-, trans- (9CI) (CA INDEX NAME)

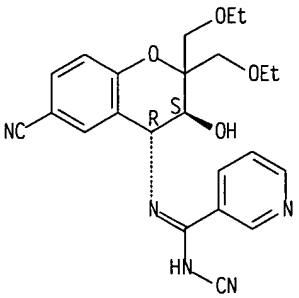
Relative stereochemistry.

Double bond geometry unknown.



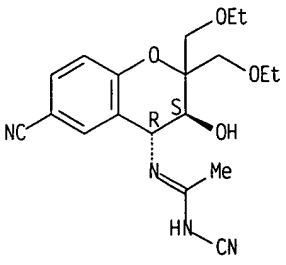
RN 168819-80-5 HCAPLUS
CN 3-Pyridinecarboximidamide, N-cyano-N'-[6-cyano-2,2-bis(ethoxymethyl)-3,4-dihydro-3-hydroxy-2H-1-benzopyran-4-yl]-, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



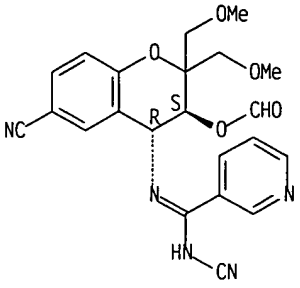
RN 168819-81-6 HCAPLUS
CN Ethanimidamide, N-cyano-N'-[6-cyano-2,2-bis(ethoxymethyl)-3,4-dihydro-3-hydroxy-2H-1-benzopyran-4-yl]-, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



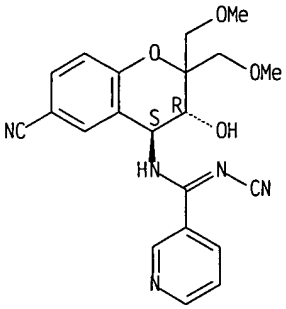
RN 168819-82-7 HCAPLUS
CN 3-Pyridinecarboximidamide, N-cyano-N'-[6-cyano-3-(formyloxy)-3,4-dihydro-2,2-bis(methoxymethyl)-2H-1-benzopyran-4-yl]-, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



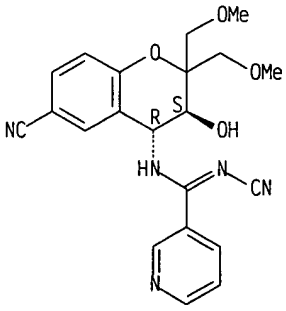
RN 169273-22-7 HCAPLUS
CN 3-Pyridinecarboximidamide, N-cyano-N'-[6-cyano-3,4-dihydro-3-hydroxy-2,2-bis(methoxymethyl)-2H-1-benzopyran-4-yl]-, (3R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 169273-23-8 HCAPLUS
CN 3-Pyridinecarboximidamide, N-cyano-N'-[6-cyano-3,4-dihydro-3-hydroxy-2,2-bis(methoxymethyl)-2H-1-benzopyran-4-yl]-, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



L24 ANSWER 3 OF 18 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1995:339492 HCAPLUS
DN 122:105674
ED Entered STN: 08 Feb 1995
TI Preparation of 4-[[heterocyclyl(cyanoimino)methyl]amino]benzopyran derivatives as antihypertensive agents and vasodilators

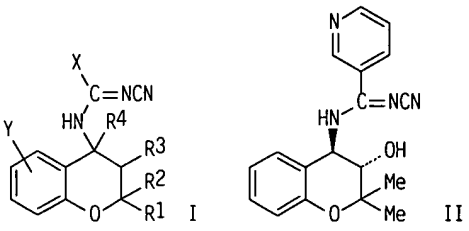
IN Nakajima, Tatsuo; Sakai, Teruyuki; Izawa, Toshio
PA Kirin Brewery, Japan
SO Jpn. Kokai Tokkyo Koho, 9 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
IC ICM C07D405-12
ICS A61K031-35; A61K031-38; A61K031-44; C07D407-12; C07D409-12
CC 27-14 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 06298759 | A2 | 19941025 | JP 1993-93363 | 19930420 <-- |

PRAI JP 1993-93363 19930420 <--
CLASS

| PATENT NO. | CLASS | PATENT FAMILY CLASSIFICATION CODES |
|---|---|---|
| JP 06298759 | ICM | C07D405-12 |
| | ICS | A61K031-35; A61K031-38; A61K031-44; C07D407-12; C07D409-12 |

OS MARPAT 122:105674
GI




AB The title compds. [I: X = (un)substituted heteroaryl; Y = cyano, NO2, CF3, MeSO2; R1, R2 = lower alkyl; R3 = OZ, wherein Z = H, acyl, alkylsulfonyl, or arylsulfonyl; R4 = H or R4R3 forms a bond], having potent and long-lasting antihypertensive activity due to the activation of K+ channel, are prepared Thus, 3-cyanopyridine was treated with HCl(g) in propanol at 0-5.degree. to give crude Pr 3-pyridinecarboximidate which was cyanated with cyanamide in aqueous solution of NaH2PO4.2H2O and Na2HPO4 to give Pr N-cyano-3-pyridinecarboximidate. The latter compound was condensed with (+)-(3S,4R)-trans-4-amino-3,4-dihydro-2,2-dimethyl-3-hydroxy-2H-1-benzopyran-6-carbonitrile in MeOH to give title compound [(-)-(3S,4R)-trans-II]. II showed ED50 of 30.2 mg/kg peritoneally for reducing the blood pressure of spontaneously hypertensive rats and the hypertensive effect lasted for .gtoreq.24 h.
ST heterocyclylcyanoiminomethylaminobenzopyran prepn antihypertensive; vasodilator heterocyclylcyanoiminomethylaminobenzopyran; aminobenzopyran heterocyclylcyanoiminomethyl prepn antihypertensive; cyanoiminomethylaminobenzopyran heterocyclyl prepn antihypertensive
IT Antihypertensives
Vasodilators
(preparation of [[heterocyclyl(cyanoimino)methyl]amino]benzopyran derivs. as antihypertensive agents and vasodilators)
IT 143966-29-4P 143966-30-7P, 3-Pyridinecarboximidic acid, N-cyano, propyl ester **149278-54-6P** 160753-73-1P 160753-74-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate for preparation of [[heterocyclyl(cyanoimino)methyl]amino]benz
opyran derivs. as antihypertensive agents and vasodilators)

IT **149278-33-1P 149278-68-2P 149342-19-8P**
**160753-70-8P 160753-71-9P 160753-72-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of [[heterocyclyl(cyanoimino)methyl]amino]benzopyran derivs. as antihypertensive agents and vasodilators)

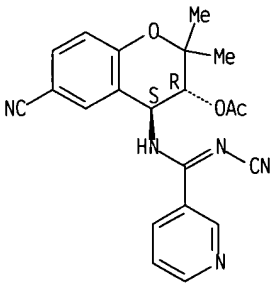
IT 100-54-9, 3-Cyanopyridine 108-24-7, Acetic anhydride 420-04-2, Cyanamide 86776-58-1 88653-55-8 102423-21-2, Methyl N-cyano-2-furancarboximidate 118581-55-8 133300-52-4, Methyl N-cyano-3-thiophenecarboximidate
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction in preparation of [[heterocyclyl(cyanoimino)methyl]amino]benzopyra n derivs. as antihypertensive agents and vasodilators)

IT **149278-54-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate for preparation of [[heterocyclyl(cyanoimino)methyl]amino]benz
opyran derivs. as antihypertensive agents and vasodilators)

RN 149278-54-6 HCAPLUS

CN 3-Pyridinecarboximidamide, N-[3-(acetyloxy)-6-cyano-3,4-dihydro-2,2-dimethyl-2H-1-benzopyran-4-yl]-N'-cyano-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.

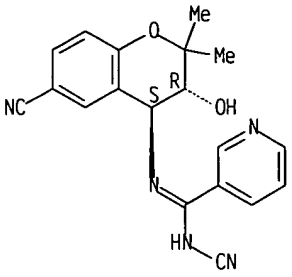



IT **149278-33-1P 149278-68-2P 149342-19-8P**
**160753-70-8P 160753-71-9P 160753-72-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of [[heterocyclyl(cyanoimino)methyl]amino]benzopyran derivs. as antihypertensive agents and vasodilators)

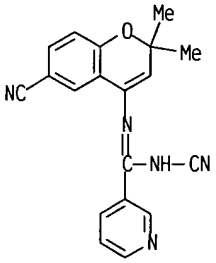
RN 149278-33-1 HCAPLUS

CN 3-Pyridinecarboximidamide, N-cyano-N'-(6-cyano-3,4-dihydro-3-hydroxy-2,2-dimethyl-2H-1-benzopyran-4-yl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.

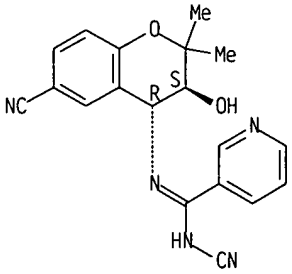


RN 149278-68-2 HCAPLUS
CN 3-Pyridinecarboximidamide, N-cyano-N'-(6-cyano-2,2-dimethyl-2H-1-benzopyran-4-yl)- (9CI) (CA INDEX NAME)



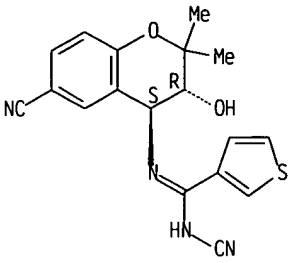
RN 149342-19-8 HCAPLUS
CN 3-Pyridinecarboximidamide, N-cyano-N'-(6-cyano-3,4-dihydro-3-hydroxy-2,2-dimethyl-2H-1-benzopyran-4-yl)-, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



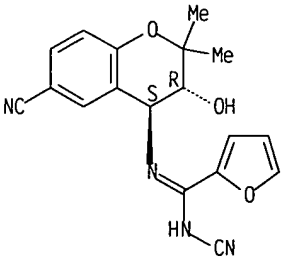
RN 160753-70-8 HCAPLUS
CN 3-Thiophenecarboximidamide, N-cyano-N'-(6-cyano-3,4-dihydro-3-hydroxy-2,2-dimethyl-2H-1-benzopyran-4-yl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



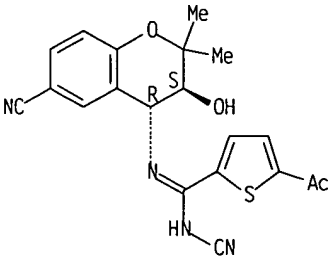
RN 160753-71-9 HCAPLUS
CN 2-Furancarboximidamide, N-cyano-N'-(6-cyano-3,4-dihydro-3-hydroxy-2,2-dimethyl-2H-1-benzopyran-4-yl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



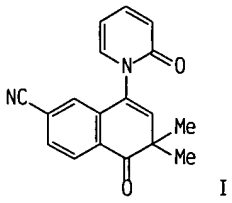
RN 160753-72-0 HCAPLUS
CN 2-Thiophenecarboximidamide, 5-acetyl-N-cyano-N'-(6-cyano-3,4-dihydro-3-hydroxy-2,2-dimethyl-2H-1-benzopyran-4-yl)-, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



L24 ANSWER 4 OF 18 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1993:560066 HCAPLUS
DN 119:160066
ED Entered STN: 16 Oct 1993
TI 2,2-Dialkylnaphthalen-1-ones as new potassium channel activators
AU Almansa, Carmen; Gomez, Luis A.; Cavalcanti, Fernando L.; Rodriguez, Ricardo; Carceller, Elena; Bartroli, Javier; Garcia-Rafanell, Julian; Forn, Javier
CS Res. Cent., J. Uriach y Cia.S.A., Barcelona, 08026, Spain
SO Journal of Medicinal Chemistry (**1993**), 36(15), 2121-33
CODEN: JMCMAR; ISSN: 0022-2623

DT Journal
LA English
CC 27-17 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1, 25
GI

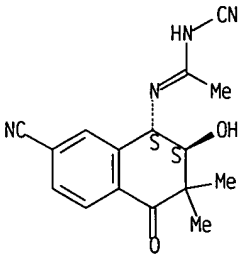


I

AB A new series of 2,2-dialkylnaphthalen-1-one potassium channel activators has been prepared, and their in vitro relaxant activities in isolated rat portal vein and guinea pig tracheal spirals as well as their oral antihypertensive effect in spontaneously hypertensive rats have been evaluated. The group of 1,2-dihydro-4-(1,2-dihydro-2-oxo-1-pyridyl)-2,2-dimethylnaphthalen-1-ones with an electron-withdrawing substituent at the 6-position contain the most active compds. and 1,2-dihydro-4-(1,2-dihydro-2-oxo-1-pyridyl)-2,2-dimethyl-1-oxonaphthalene-6-carbonitrile, (UR-8225) (I), has been selected for further pharmacol. development.
ST dialkylnaphthalenone prepn potassium channel activator; naphthalenone dialkyl prepn potassium channel activator; antihypertensive dialkylnaphthalenone prepn; structure activity relationship dialkylnaphthalenone antihypertensive
IT Antihypertensives
Bronchodilators
(dialkylnaphthalenones)
IT Molecular structure-biological activity relationship
(antihypertensive, of dialkylnaphthalenones)
IT Ion channel openers
(potassium, dialkylnaphthalenones)
IT 4635-59-0, 4-Chlorobutyryl chloride
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclocondensation of, with aminotetrahydronaphthalenone derivative)
IT 703-67-3 1078-19-9 25095-57-2 26673-31-4 32281-97-3 62620-71-7 66361-67-9 90401-84-6 149456-02-0 149915-80-0 149915-81-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(methylation of)
IT 149456-22-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and bromination of)
IT 149455-39-0P 149455-77-6P 149455-81-2P 149455-86-7P 149455-90-3P 149455-94-7P 149455-99-2P 149456-05-3P 149456-10-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of, with chlorobutyryl chloride)
IT 21568-65-0P 148925-37-5P 149455-83-4P 149455-88-9P 149455-92-5P 149455-96-9P 149456-01-9P 149456-07-5P 149456-12-2P 149456-15-5P 149456-18-8P 149456-23-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and dehydrogenation of)
IT 148925-38-6P 149455-79-8P 149455-84-5P 149455-89-0P 149455-93-6P 149455-97-0P 149456-03-1P 149456-08-6P 149456-13-3P 149456-16-6P 149456-19-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)
(preparation and epoxidn. of)
IT 149915-77-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)
IT 149455-91-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and intramol. cyclization of)
IT 155267-76-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and methylation or acetylation of)
IT 94535-50-9P 148925-41-1P 148925-42-2P 148925-46-6P 148925-49-9P
148925-52-4P 148925-53-5P 148925-54-6P 148925-55-7P 148925-60-4P
148925-61-5P 148925-62-6P 148925-64-8P **148925-65-9P**
149455-11-8P 149455-13-0P 149455-15-2P 149455-16-3P 149455-18-5P
149455-19-6P 149455-21-0P 149455-23-2P 149455-24-3P 149455-25-4P
149455-26-5P 149455-28-7P 149455-31-2P 149455-32-3P 149455-33-4P
149455-34-5P 149455-35-6P 149455-36-7P 149455-38-9P 149455-40-3P
149455-41-4P 149455-42-5P 149455-43-6P 149455-44-7P 149455-46-9P
149455-48-1P 149455-50-5P 149455-51-6P 149455-52-7P 149455-53-8P
149455-54-9P 149455-55-0P 149455-56-1P 149455-57-2P 149455-59-4P
149455-60-7P 149455-61-8P 149455-62-9P 149455-64-1P 149455-65-2P
149455-66-3P 149455-67-4P 149455-68-5P 149455-69-6P 149455-70-9P
149455-72-1P 149455-74-3P 149455-75-4P 149456-21-3P 149915-48-0P
149915-49-1P 149915-50-4P 149915-51-5P 149915-53-7P 149915-54-8P
149915-55-9P 149915-59-3P 149915-60-6P 149915-61-7P 149915-65-1P
149915-66-2P 149915-71-9P 149915-75-3P 149915-76-4P 155267-80-4P
155267-81-5P 155267-82-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and potassium channel activator activity of)
IT 148925-39-7P 148925-40-0P 148925-50-2P 149455-76-5P 149455-80-1P
149455-85-6P 149455-98-1P 149456-04-2P 149456-09-7P 149456-14-4P
149456-17-7P 149456-20-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with amines)
IT 149455-30-1P 149915-78-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
IT 142-08-5, 2-Hydroxypyridine 18292-04-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with bromoepoxytetrahydronaphthalenone derivative)
IT **148925-65-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and potassium channel activator activity of)
RN 148925-65-9 HCAPLUS
CN Ethanimidamide, N-cyano-N'-(7-cyano-1,2,3,4-tetrahydro-2-hydroxy-3,3-dimethyl-4-oxo-1-naphthalenyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



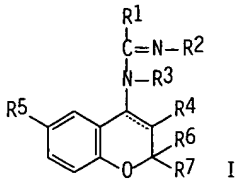
L24 ANSWER 5 OF 18 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1993:539100 HCAPLUS
DN 119:139100
ED Entered STN: 02 Oct 1993
TI Preparation of benzopyran derivatives as antihypertensives and vasodilators.
IN Katoh, Susumu; Sayama, Shinsuke; Shibata, Saizo; Uchida, Itsuo
PA Japan Tobacco Inc., Japan
SO PCT Int. Appl., 91 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
IC ICM C07D311-68
ICS C07D405-12; C07D409-12
ICA A61K031-35
ICI C07D405-12, C07D213-00, C07D311-00; C07D409-12, C07D311-00, C07D333-00
CC 27-14 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 9219611 | A1 | 19921112 | WO 1992-JP538 | 19920424 <-- |
| | W: CA, HU, KR, RU, US | | | | |
| | RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE | | | | |
| | JP 05186458 | A2 | 19930727 | JP 1992-137484 | 19920415 <-- |
| | CA 2086322 | AA | 19921027 | CA 1992-2086322 | 19920424 <-- |
| | EP 536424 | A1 | 19930414 | EP 1992-909551 | 19920424 <-- |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, SE | | | | |
| | HU 63403 | A2 | 19930830 | HU 1993-203 | 19920424 <-- |
| PRAI | JP 1991-188374 | A | 19910426 <-- | | |
| | JP 1991-279014 | A | 19910730 <-- | | |
| | JP 1992-137484 | A | 19920415 <-- | | |
| | WO 1992-JP538 | W | 19920424 <-- | | |

CLASS

| PATENT NO. | CLASS | PATENT FAMILY CLASSIFICATION CODES |
|---|---|---|
| WO 9219611 | ICM | C07D311-68 |
| | ICS | C07D405-12; C07D409-12 |
| | ICA | A61K031-35 |
| | ICI | C07D405-12, C07D213-00, C07D311-00; C07D409-12, C07D311-00, C07D333-00 |

OS MARPAT 119:139100
GI



I

AB The title compds. [I; R1 = halo, NO2, alkoxycarbonyl, (un)substituted alkyl; R2 = OH, alkoxy, cyano, NO2, etc.; R3 = H, alkyl; R4 = H, OH, nitrooxy, Ac; R5 = alkyl, (un)substituted alkoxy, cyano, NO2, acyl, halo; R6, R7 = alkyl, or R6R7 = alkylene] and their pharmaceutically acceptable salts are prepared Me N-[trans-3-(tert-butyldimethylsilyloxy)-6-cyano-3,4-dihydro-2,2-dimethyl-2H-1-benzopyran-4-yl]-3-pyridinethiocarboximidate (preparation given) in diglyme was treated with cyanamide at 80.degree. to give N-[trans-3-(tert-butyldimethylsilyloxy)-6-cyano-3,4-dihydro-2,2-dimethyl-2H-1-benzopyran-4-yl]-N'-cyano-3-pyridinethiocarboxamidine, which was treated with Bu4NF at room temperature for 4 days to give I [R1 = 3-pyridyl, R2 = R5 = cyano, R3 = H, R4 = OH, R6 = R7 = Me], which had an IC50 of 0.6 .mu.M as a vasodilator in an in vitro study.

ST benzopyran deriv prepn antihypertensive vasodilator; antihypertensive benzopyran deriv prepn

IT Antihypertensives
Vasodilators
(benzopyran derivs.)

IT 149278-31-9P 149278-32-0P **149278-33-1P** 149278-34-2P
149278-35-3P **149278-36-4P** 149278-37-5P 149278-38-6P
**149278-39-7P** **149278-40-0P** 149278-41-1P 149278-42-2P
149278-43-3P 149278-44-4P **149278-45-5P** **149278-46-6P**
149278-47-7P 149278-48-8P 149278-49-9P 149278-50-2P
**149278-51-3P** 149278-52-4P 149278-53-5P **149278-54-6P**
**149278-55-7P** **149278-56-8P** **149278-57-9P**
**149278-58-0P** **149278-59-1P** **149278-60-4P**
**149278-61-5P** **149278-62-6P** **149278-63-7P**
**149278-64-8P** **149278-65-9P** **149278-66-0P**
**149278-67-1P** **149278-68-2P** **149278-69-3P**
**149278-70-6P** **149342-19-8P** **149342-20-1P**
**149342-21-2P** **149342-22-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antihypertensive and vasodilator)

IT 31084-70-5P 149278-71-7P 149278-72-8P 149278-73-9P 149278-74-0P
149278-75-1P 149278-76-2P 149278-77-3P 149278-78-4P
**149278-79-5P** 149278-80-8P 149278-81-9P 149278-82-0P
149278-83-1P 149278-84-2P 149278-85-3P 149278-86-4P 149278-87-5P
**149278-88-6P** 149278-89-7P 149278-90-0P 149278-91-1P
149278-92-2P 149278-93-3P 149278-94-4P 149278-95-5P 149278-96-6P
149278-97-7P 149278-98-8P 149857-13-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for antihypertensives and vasodilators)

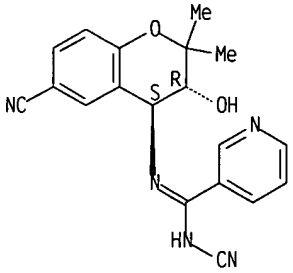
IT 67-62-9, O-Methylhydroxylamine 74-88-4, Methyl iodide, reactions
108-48-5, 2,6-Lutidine 420-04-2, Cyanamide 541-41-3, Ethyl chloroformate 831-68-5, Ethyl 4-nitrobenzimidate 7803-49-8, Hydroxylamine, reactions 10400-19-8, 3-Pyridinecarbonyl chloride
18162-48-6, tert-Butyldimethylsilyl chloride 19547-38-7, Methyl 2-pyridinecarboximidate 19847-10-0, Pyrazinecarbonyl chloride
52162-47-7 86776-58-1 86823-96-3 89316-86-9 95460-34-7
99498-54-1 107326-06-7, Methyl N-cyano-2-thiophenecarboximidate
118581-55-8 121021-87-2 124787-48-0 127419-05-0 129462-75-5
133178-68-4 133300-43-3 133415-43-7 149278-99-9 149279-00-5
149279-01-6 149279-02-7 149279-03-8 149279-04-9 149279-05-0
149279-06-1 149279-07-2 149279-08-3 149279-09-4 149279-10-7

RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of antihypertensives and vasodilators)

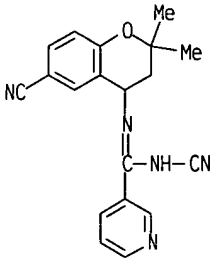
IT **149278-33-1P 149278-36-4P 149278-39-7P**
**149278-40-0P 149278-45-5P 149278-46-6P**
**149278-51-3P 149278-54-6P 149278-55-7P**
**149278-56-8P 149278-57-9P 149278-58-0P**
**149278-59-1P 149278-60-4P 149278-61-5P**
**149278-62-6P 149278-63-7P 149278-64-8P**
**149278-65-9P 149278-66-0P 149278-67-1P**
**149278-68-2P 149278-69-3P 149278-70-6P**
**149342-19-8P 149342-20-1P 149342-21-2P**
**149342-22-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antihypertensive and vasodilator)

RN 149278-33-1 HCAPLUS
CN 3-Pyridinecarboximidamide, N-cyano-N'-(6-cyano-3,4-dihydro-3-hydroxy-2,2-dimethyl-2H-1-benzopyran-4-yl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.

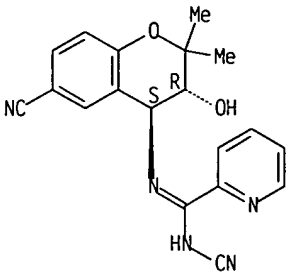



RN 149278-36-4 HCAPLUS
CN 3-Pyridinecarboximidamide, N-cyano-N'-(6-cyano-3,4-dihydro-2,2-dimethyl-2H-1-benzopyran-4-yl)- (9CI) (CA INDEX NAME)



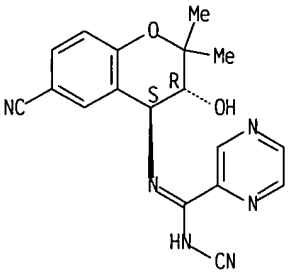

RN 149278-39-7 HCAPLUS
CN 2-Pyridinecarboximidamide, N-cyano-N'-(6-cyano-3,4-dihydro-3-hydroxy-2,2-dimethyl-2H-1-benzopyran-4-yl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



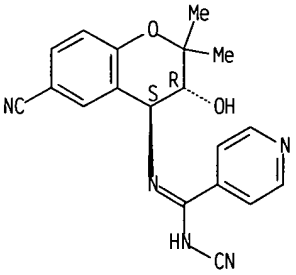
RN 149278-40-0 HCAPLUS
CN Pyrazinecarboximidamide, N-cyano-N'-(6-cyano-3,4-dihydro-3-hydroxy-2,2-dimethyl-2H-1-benzopyran-4-yl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



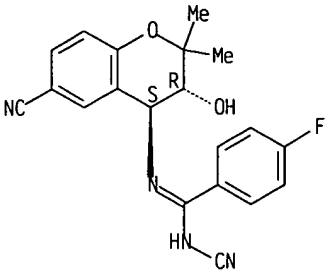
RN 149278-45-5 HCAPLUS
CN 4-Pyridinecarboximidamide, N-cyano-N'-(6-cyano-3,4-dihydro-3-hydroxy-2,2-dimethyl-2H-1-benzopyran-4-yl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



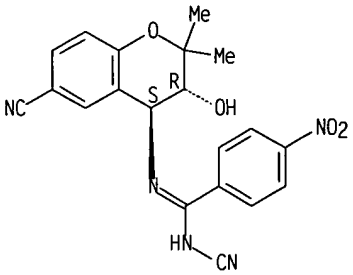
RN 149278-46-6 HCAPLUS
CN Benzenecarboximidamide, N-cyano-N'-(6-cyano-3,4-dihydro-3-hydroxy-2,2-dimethyl-2H-1-benzopyran-4-yl)-4-fluoro-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



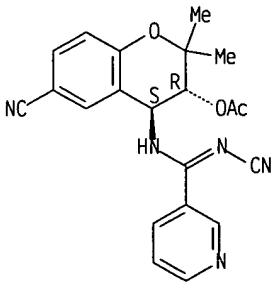
RN 149278-51-3 HCAPLUS
CN Benzenecarboximidamide, N-cyano-N'-(6-cyano-3,4-dihydro-3-hydroxy-2,2-dimethyl-2H-1-benzopyran-4-yl)-4-nitro-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



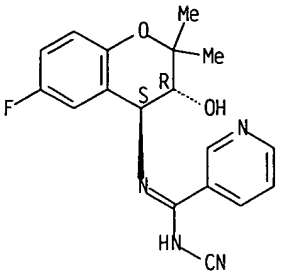
RN 149278-54-6 HCAPLUS
CN 3-Pyridinecarboximidamide, N-[3-(acetyloxy)-6-cyano-3,4-dihydro-2,2-dimethyl-2H-1-benzopyran-4-yl]-N'-cyano-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



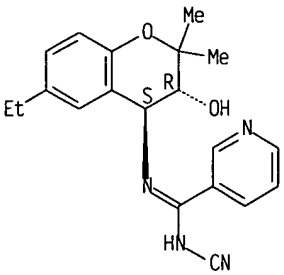
RN 149278-55-7 HCAPLUS
CN 3-Pyridinecarboximidamide, N-cyano-N'-(6-fluoro-3,4-dihydro-3-hydroxy-2,2-dimethyl-2H-1-benzopyran-4-yl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



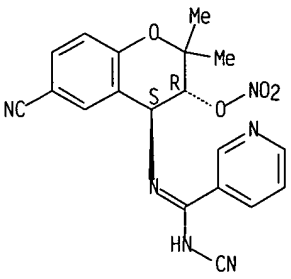
RN 149278-56-8 HCAPLUS
CN 3-Pyridinecarboximidamide, N-cyano-N'-(6-ethyl-3,4-dihydro-3-hydroxy-2,2-dimethyl-2H-1-benzopyran-4-yl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



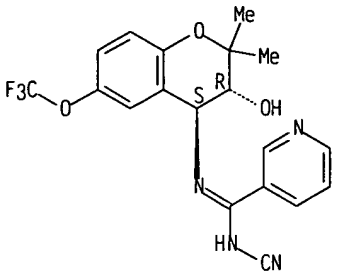
RN 149278-57-9 HCAPLUS
CN 3-Pyridinecarboximidamide, N-cyano-N'-[6-cyano-3,4-dihydro-2,2-dimethyl-3-(nitrooxy)-2H-1-benzopyran-4-yl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



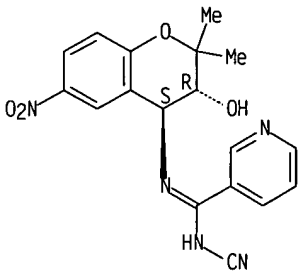
RN 149278-58-0 HCAPLUS
CN 3-Pyridinecarboximidamide, N-cyano-N'-[3,4-dihydro-3-hydroxy-2,2-dimethyl-6-(trifluoromethoxy)-2H-1-benzopyran-4-yl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



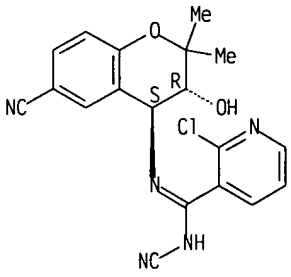
RN 149278-59-1 HCAPLUS
CN 3-Pyridinecarboximidamide, N-cyano-N'-(3,4-dihydro-3-hydroxy-2,2-dimethyl-6-nitro-2H-1-benzopyran-4-yl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



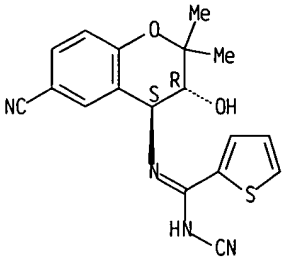
RN 149278-60-4 HCAPLUS
CN 3-Pyridinecarboximidamide, 2-chloro-N-cyano-N'-(6-cyano-3,4-dihydro-3-hydroxy-2,2-dimethyl-2H-1-benzopyran-4-yl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



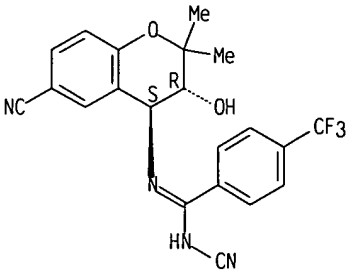
RN 149278-61-5 HCAPLUS
CN 2-Thiophenecarboximidamide, N-cyano-N'-(6-cyano-3,4-dihydro-3-hydroxy-2,2-dimethyl-2H-1-benzopyran-4-yl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



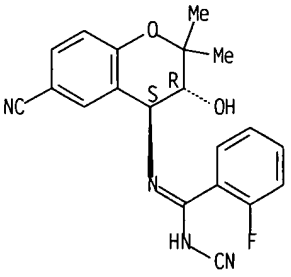
RN 149278-62-6 HCAPLUS
CN Benzenecarboximidamide, N-cyano-N'-(6-cyano-3,4-dihydro-3-hydroxy-2,2-dimethyl-2H-1-benzopyran-4-yl)-4-(trifluoromethyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



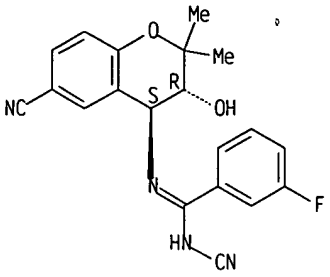
RN 149278-63-7 HCAPLUS
CN Benzenecarboximidamide, N-cyano-N'-(6-cyano-3,4-dihydro-3-hydroxy-2,2-dimethyl-2H-1-benzopyran-4-yl)-2-fluoro-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



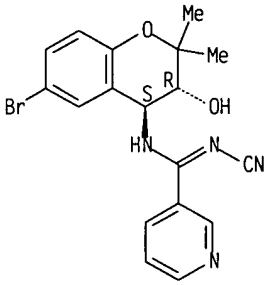
RN 149278-64-8 HCAPLUS
CN Benzenecarboximidamide, N-cyano-N'-(6-cyano-3,4-dihydro-3-hydroxy-2,2-dimethyl-2H-1-benzopyran-4-yl)-3-fluoro-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



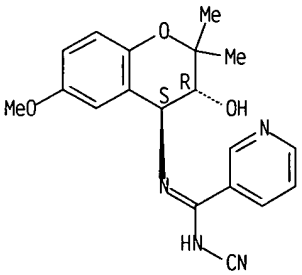
RN 149278-65-9 HCAPLUS
CN 3-Pyridinecarboximidamide, N-(6-bromo-3,4-dihydro-3-hydroxy-2,2-dimethyl-2H-1-benzopyran-4-yl)-N'-cyano-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



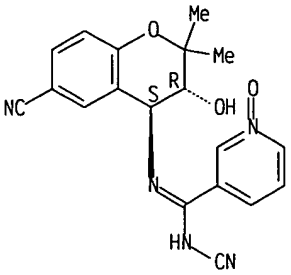
RN 149278-66-0 HCAPLUS
CN 3-Pyridinecarboximidamide, N-cyano-N'-(3,4-dihydro-3-hydroxy-6-methoxy-2,2-dimethyl-2H-1-benzopyran-4-yl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.

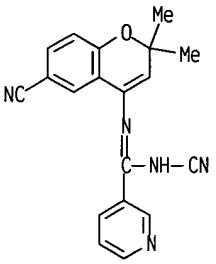


RN 149278-67-1 HCAPLUS
CN 3-Pyridinecarboximidamide, N-cyano-N'-(6-cyano-3,4-dihydro-3-hydroxy-2,2-dimethyl-2H-1-benzopyran-4-yl)-, 1-oxide, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.

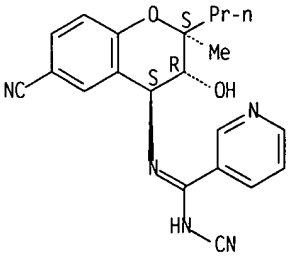


RN 149278-68-2 HCAPLUS
CN 3-Pyridinecarboximidamide, N-cyano-N'-(6-cyano-2,2-dimethyl-2H-1-benzopyran-4-yl)- (9CI) (CA INDEX NAME)



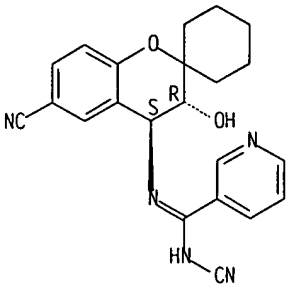
RN 149278-69-3 HCAPLUS
CN 3-Pyridinecarboximidamide, N-cyano-N'-(6-cyano-3,4-dihydro-3-hydroxy-2-methyl-2-propyl-2H-1-benzopyran-4-yl)-, (2.alpha.,3.beta.,4.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



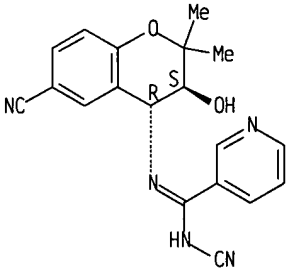
RN 149278-70-6 HCAPLUS
CN 3-Pyridinecarboximidamide, N-cyano-N'-(6-cyano-3,4-dihydro-3-hydroxyspiro[2H-1-benzopyran-2,1'-cyclohexan]-4-yl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



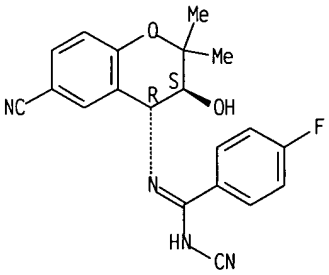
RN 149342-19-8 HCAPLUS
CN 3-Pyridinecarboximidamide, N-cyano-N'-(6-cyano-3,4-dihydro-3-hydroxy-2,2-dimethyl-2H-1-benzopyran-4-yl)-, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



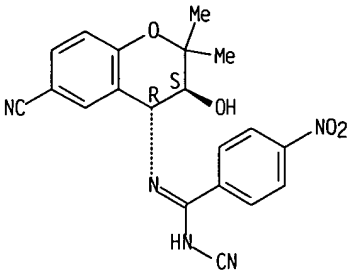
RN 149342-20-1 HCAPLUS
CN Benzenecarboximidamide, N-cyano-N'-(6-cyano-3,4-dihydro-3-hydroxy-2,2-dimethyl-2H-1-benzopyran-4-yl)-4-fluoro-, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



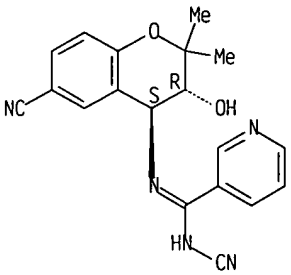
RN 149342-21-2 HCAPLUS
CN Benzenecarboximidamide, N-cyano-N'-(6-cyano-3,4-dihydro-3-hydroxy-2,2-dimethyl-2H-1-benzopyran-4-yl)-4-nitro-, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



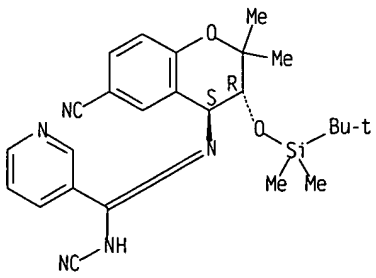
RN 149342-22-3 HCAPLUS
CN 3-Pyridinecarboximidamide, N-cyano-N'-(6-cyano-3,4-dihydro-3-hydroxy-2,2-dimethyl-2H-1-benzopyran-4-yl)-, (3R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



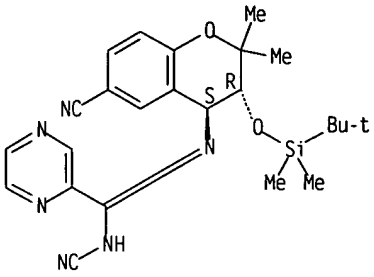
IT **149278-79-5P 149278-88-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for antihypertensives and vasodilators)
RN 149278-79-5 HCAPLUS
CN 3-Pyridinecarboximidamide, N-cyano-N'-[6-cyano-3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-3,4-dihydro-2,2-dimethyl-2H-1-benzopyran-4-yl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.

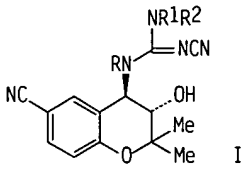


RN 149278-88-6 HCAPLUS
CN Pyrazinecarboximidamide, N-cyano-N'-[6-cyano-3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-3,4-dihydro-2,2-dimethyl-2H-1-benzopyran-4-yl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



L24 ANSWER 6 OF 18 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1993:539019 HCAPLUS
DN 119:139019
ED Entered STN: 02 Oct 1993
TI Benzopyranylcyanoguanidine potassium channel openers
AU Atwal, Karnail S.; Moreland, Suzanne; McCullough, John R.; Ahmed, Syed Z.; Normandin, Diane E.
CS Bristol-Myers Squibb Pharm. Res. Inst., Princeton, NJ, 08543-4000, USA
SO Bioorganic & Medicinal Chemistry Letters (**1992**), 2(1), 87-90
CODEN: BMCLE8; ISSN: 0960-894X
DT Journal
LA English
CC 27-14 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1
GI



I

AB To further investigate whether the K channel openers cromakalim and pinacidil share common pharmacophoric features, the cromakalim analogs I [RR1 = CH2CH2, (CH2)3, R2 = H; R = R2 = H, R1 = H, Me, Et, CHMe2, CMe2Et, CH2CH2NMe2, CH2CH2OMe; R = H, NR1R2 = NMe2, pyrrolidino, N-benzylpiperazino] were prepared The potent vasodilating activity displayed by I, especially I (R = H, NR1R2 = pyrrolidino), supports the hypothesis of shared pharmacophoric features.
ST pharmacophore cromakalim pinacidil; benzopyranylcyanoguanidine potassium channel opener; cyanoguanidine benzopyranyl potassium channel opener; antihypertensive benzopyranylcyanoguanidine; vasodilator benzopyranylcyanoguanidine
IT Antihypertensives
Vasodilators
(benzopyranylcyanoguanidines)
IT Pharmacophores
(shared pharmacophoric features in cromakalim and pinacidil)
IT Ion channel openers
(potassium, benzopyranylcyanoguanidines)
IT 129462-66-4P 130228-87-4P 134017-89-3P 134017-94-0P 134017-95-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(intermediate in preparation of benzopyranylcyanoguanidine potassium channel openers)
IT 60560-33-0DP, Pinacidil, benzopyran analogs 94470-67-4DP, Cromakalim, cyanoguanidine analogs 127249-54-1P 127249-61-0P 127249-68-7P

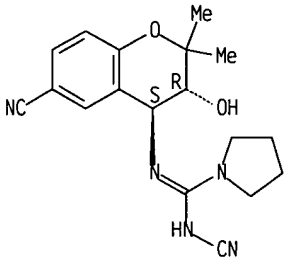
127249-69-8P 127249-70-1P 127249-71-2P **127249-72-3P**
127249-80-3P 134017-78-0P 134017-81-5P **134017-82-6P**
134017-84-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and vasodilator activity)

IT 107-15-3P, Ethylenediamine, reactions 109-76-2P, 1,3-Propanediamine
10191-60-3P, Dimethyl cyanoiminodithiocarbonate 65018-90-8P
86776-58-1P 127749-52-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(reactant in preparation of benzopyranylcyanoguanidine potassium channel openers)

IT **127249-72-3P 134017-82-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and vasodilator activity)

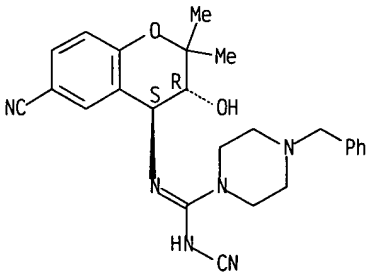
RN 127249-72-3 HCAPLUS
CN 1-Pyrrolidinecarboximidamide, N-cyano-N'-(6-cyano-3,4-dihydro-3-hydroxy-2,2-dimethyl-2H-1-benzopyran-4-yl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.




RN 134017-82-6 HCAPLUS
CN 1-Piperazinecarboximidamide, N-cyano-N'-(6-cyano-3,4-dihydro-3-hydroxy-2,2-dimethyl-2H-1-benzopyran-4-yl)-4-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.




L24 ANSWER 7 OF 18 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1993:495539 HCAPLUS
DN 119:95539
ED Entered STN: 04 Sep 1993
TI Heterocyclyl group-substituted tetralones having antihypertensive and bronochodilating activity
IN Almansa, Carmen; Gonzalez, M. Concepcion; Torres, M. Carmen; Carceller, Elena; Bartroli, Javier
PA Uriach, J., e Cia. S.A., Spain
SO Eur. Pat. Appl., 39 pp.

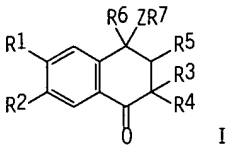
CODEN: EPXXDW
DT Patent
LA English
IC ICM C07D237-16
ICS C07D239-42; C07C255-56; C07C255-60; C07D213-64; C07D213-81; C07D307-68; A61K031-395
CC 28-15 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | EP 525768 | A1 | 19930203 | EP 1992-113007 | 19920730 <-- |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE | | | | |
| | ES 2033581 | A1 | 19930316 | ES 1991-1777 | 19910730 <-- |
| | ES 2033581 | B1 | 19931216 | | |
| | ES 2041212 | A1 | 19931101 | ES 1992-333 | 19920217 <-- |
| | ES 2041212 | B1 | 19940516 | | |
| | CA 2074864 | AA | 19930131 | CA 1992-2074864 | 19920729 <-- |
| PRAI | ES 1991-1777 | A | 19910730 <-- | | |
| | ES 1992-333 | A | 19920217 <-- | | |

CLASS

| PATENT NO. | CLASS | PATENT FAMILY CLASSIFICATION CODES |
|---|---|---|
| EP 525768 | ICM | C07D237-16 |
| | ICS | C07D239-42; C07C255-56; C07C255-60; C07D213-64; C07D213-81; C07D307-68; A61K031-395 |

OS MARPAT 119:95539
GI



I

AB The title compds. I [R1, R2 = H, OH, CHO, CO2H, NO2, NH2CN, halogen, OCF3, alkoxy, C.tplbond.CH, (un)substituted alkylcarbonyl, arylsulfinyl, alkylsulfinyl, arylsulfenyl, alkyl, alkylsulfonylamino, aminosulfinyl, aminosulfonyl, etc.; R3 = H, alkyl; R4 = alkyl; R5 = OH, acetoxy, formyloxy; R6 = H, olefinic bond with R5; Z = O, NR8; R3R4 = C2-5 methylene chain; if Z = O, then R7 = R9 where R9 = C3-6 cycloalkyl, C3-6 cycloalkenyl, Ph, heteroaryl (all optionally substituted by 1-2 halogen atoms and/or 1-2 C1-6 alkyl, C1-6 alkoxy, arylmethyloxy, etc., but when Z = NR8, then R7 = R9, C(:X)R10; R10 = H, (un)substituted C1-6 alkyl, C2-6 alkenyl, C3-6 cycloalkyl, (un)substituted Ph, (un)substituted heteroaryl; X = O, S, NCN], useful as antihypertensive and bronchodilating agents, are prepared Thus, 3,4-epoxy-2,2-dimethyl-1-oxo-1,2,3,4-tetrahydronaphthalene-6-carbonitrile reacted with 3,6-dihydroxypyridazine to give trans-2,2-dimethyl-3-hydroxy-4-(6-hydroxy-3-pyridazinyloxy)-1-oxo-1,2,3,4-tetrahydrononaphthalene-6-carbonitrile (II) in 65% yield. In spontaneously hypertensive rats at 1 mg/kg, II lowered arterial blood pressure 116 mm Hg, and at 8.8 .mu.M inhibited 50% noradrenaline-induced contraction in portal vein isolated from rat.
ST antihypertensive tetralone prepn; bronchodilation tetralone prepn; hypertension treatment tetralone drug; asthma treatment tetralone drug; pyridazinyloxytetralone antihypertensive agent; pyridazinyloxytetrahydronaphthalenecarbonitrile bronchodilating agent
IT Antihypertensives
Bronchodilators
(heterocyclyl-substituted tetralones)

IT 148925-41-1P 148925-42-2P 148925-43-3P 148925-45-5P 148925-46-6P
148925-47-7P 148925-48-8P 148925-49-9P 148925-51-3P 148925-52-4P
148925-53-5P 148925-54-6P 148925-55-7P 148925-57-9P 148925-58-0P
148925-59-1P 148925-60-4P 148925-61-5P 148925-62-6P 148925-63-7P
148925-64-8P **148925-65-9P** 148925-66-0P 148925-67-1P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antihypertensive and bronchodilating activity of)

IT 148925-44-4P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antihypertensive and bronchodilating activity of, reaction of)

IT 17257-71-5P 32281-97-3P, 7-Bromo-1,2,3,4-Tetrahydronaphthalen-1-one
148925-37-5P, 7-Bromo-2,2-dimethyl-1,2,3,4-tetrahydronaphthalen-1-one
148925-38-6P, 7-Bromo-2,2-dimethyl-1,2-dihydronaphthalen-1-one
148925-39-7P, 7-Bromo-2,2-dimethyl-3,4-epoxy-1,2,3,4-tetrahydronaphthalen-1-one
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of antihypertensive and bronchodilating tetralones)

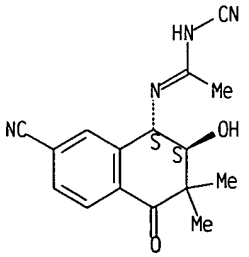
IT 55-22-1, 4-Pyridinecarboxylic acid, reactions 59-67-6, Nicotinic acid, reactions 65-85-0, Benzoic acid, reactions 98-98-6, 2-Pyridinecarboxylic acid 100-09-4, 4-Methoxybenzoic acid 106-95-6, Allyl bromide, reactions 123-33-1, 3,6-Dihydroxypyridazine 488-93-7, 3-Furoic acid 1193-21-1, 4,6-Dichloropyrimidine 3859-41-4, 1,3-Cyclopentanedione 5231-87-8, 3,4-Diethoxycyclobutene-1,2-dione 56563-07-6 72762-00-6, 2-Hydroxypyridine 148925-40-0 148925-50-2, 6-Bromo-2,2-dimethyl-3,4-epoxy-1,2,3,4-tetrahydronaphthalen-1-one 149455-94-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of antihypertensive and bronchodilating tetralones)

IT **148925-65-9P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antihypertensive and bronchodilating activity of)

RN 148925-65-9 HCAPLUS

CN Ethanimidamide, N-cyano-N'-(7-cyano-1,2,3,4-tetrahydro-2-hydroxy-3,3-dimethyl-4-oxo-1-naphthalenyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.




L24 ANSWER 8 OF 18 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1993:212894 HCAPLUS
DN 118:212894
ED Entered STN: 29 May 1993
TI Preparation of benzopyranylcyanoguanidine derivatives
IN Atwal, Karnail; Grover, Gary J.; Kim, Kyoung S.

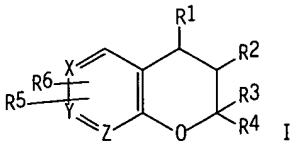
PA E. R. Squibb and Sons, Inc., USA
SO U.S., 25 pp. Cont.-in-part of U.S. Ser. No. 506,632, abandoned.
CODEN: USXXAM
DT Patent
LA English
IC ICM C07D471-02
ICS C07D409-14; C07D311-96; C07D417-04; C07D405-14; A61K031-35; A61K031-66
NCL 514302000
CC 27-14 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1
FAN.CNT 5

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE | |
|---|---|---|---|---|---|---|
| PI | US 5140031 | A | 19920818 | US 1991-661763 | 19910227 | <-- |
| | ZA 9003491 | A | 19910227 | ZA 1990-3491 | 19900508 | <-- |
| | IN 173988 | A | 19940820 | IN 1990-DE494 | 19900522 | <-- |
| | DD 294715 | A5 | 19911010 | DD 1990-341153 | 19900530 | <-- |
| | PL 165385 | B1 | 19941230 | PL 1990-290038 | 19900531 | <-- |
| | IN 178152 | A | 19970308 | IN 1992-DE96 | 19920207 | <-- |
| | CA 2061699 | AA | 19920828 | CA 1992-2061699 | 19920224 | <-- |
| | CA 2061699 | C | 20020521 | | | |
| | AU 9211147 | A1 | 19920903 | AU 1992-11147 | 19920224 | <-- |
| | AU 650512 | B2 | 19940623 | | | |
| | ZA 9201333 | A | 19921125 | ZA 1992-1333 | 19920224 | <-- |
| | NO 9200767 | A | 19920828 | NO 1992-767 | 19920226 | <-- |
| | NO 180679 | B | 19970217 | | | |
| | NO 180679 | C | 19970528 | | | |
| | EP 501797 | A1 | 19920902 | EP 1992-301654 | 19920227 | <-- |
| | EP 501797 | B1 | 19960605 | | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE | | | | | |
| | CN 1064272 | A | 19920909 | CN 1992-101340 | 19920227 | <-- |
| | CN 1035253 | B | 19970625 | | | |
| | AT 138919 | E | 19960615 | AT 1992-301654 | 19920227 | <-- |
| | ES 2088537 | T3 | 19960816 | ES 1992-301654 | 19920227 | <-- |
| | IN 180495 | A | 19980207 | IN 1992-DE1111 | 19921126 | <-- |
| PRAI | US 1989-359236 | B2 | 19890531 | <-- | | |
| | US 1990-493060 | B2 | 19900313 | <-- | | |
| | US 1990-506632 | B2 | 19900409 | <-- | | |
| | IN 1990-DE494 | A1 | 19900522 | <-- | | |
| | US 1991-661763 | A | 19910227 | <-- | | |

CLASS

| PATENT NO. | CLASS | PATENT FAMILY CLASSIFICATION CODES | |
|---|---|---|---|
| US 5140031 | ICM | C07D471-02 | |
| | ICS | C07D409-14; C07D311-96; C07D417-04; C07D405-14; A61K031-35; A61K031-66 | |
| | NCL | 514302000 | |
| EP 501797 | ECLA | C07D311/68; C07D311/70; C07F009/655P60 | <-- |

OS MARPAT 118:212894
GI



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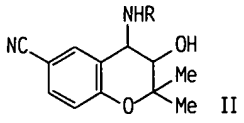


II

AB Title compds. I [X,Y,Z = CH or 1 of them = N, NO and the others = CH; R1 = R7R8NC(:NCN)NR9 wherein R7 = aryl, heterocyclyl, heterocyclylalkyl, R8 = H, alkyl, aryl, alkenyl, arylalkyl, R9 = H, alkyl, alkenyl, alkenyl, aryl,

arylalkyl, cycloalkyl, cyclooalkylalkyl; R2 = H, HO, OAc; R3, R4 = H, alkyl, arylaklkyl; R3R4 = 5-7-membered carbocyclyl; R5 = H, alkyl, haloalkyl, alkenyl, alkynyl, etc.; R6 = H, alkyl, HO, alkoxy, (substituted) amino, etc.] having K-channel activity useful as antiischemic agents (no data), are prepared Aminobenzopyran trans-II (R = H) was condensed with NCNHCSNHCMe2Et (preparation given) to give trans-II [R = NHC(:NCN)NHCMe2Et].

ST cyanoguanidine cyanohydroxybenzopyranyl prepn cardiovascular; benzopyranylcyanoguanidine prepn antiischemic; potassium channel activator benzopyranylcyanoguanidine

IT Cardiovascular agents
(benzopyranylcyanoguanidines)

IT Ischemia
(treatment of, benzopyranylcyanoguanidines for)

IT Ion channel openers
(potassium, benzopyranylcyanoguanidines)

IT 41835-08-9P 89125-07-5P 98557-54-1P 118581-55-8P 127419-05-0P
127749-51-3P 127749-52-4P 127779-17-3P 127806-70-6P 129180-58-1P
129180-59-2P 129462-66-4P 129462-74-4P 129462-75-5P 130228-87-4P
132685-98-4P 134017-90-6P 134017-91-7P 134017-92-8P 134017-93-9P
134017-94-0P 134017-96-2P 134017-97-3P 134017-99-5P 134018-01-2P
134028-71-0P 134828-12-9P 144264-59-5P 144264-60-8P 144264-61-9P
144264-62-0P 144264-63-1P 144264-64-2P 144264-65-3P 146941-85-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of cardiovascular agents)

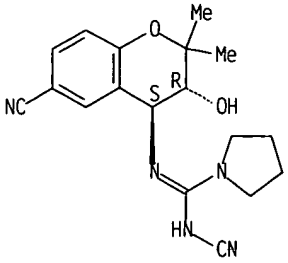
IT 127249-61-0P 127249-68-7P 127249-69-8P 127249-70-1P 127249-71-2P
**127249-72-3P** 130228-92-1P 130228-95-4P 133178-30-0P
134017-78-0P 134017-79-1P 134017-80-4P 134017-81-5P
**134017-82-6P** 134017-83-7P 134017-84-8P 134017-85-9P
134017-86-0P 134017-87-1P 134017-88-2P 134035-97-5P 134053-73-9P
134053-74-0P 144264-44-8P 144264-45-9P 144264-46-0P 144264-51-7P
144264-52-8P 144264-53-9P 144264-54-0P 144301-93-9P 144301-94-0P
144301-95-1P 146941-74-4P 146941-75-5P 146941-76-6P 146941-77-7P
146941-78-8P 146941-79-9P 146941-80-2P 146941-81-3P 146941-82-4P
146941-83-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as cardiovascular agent)

IT 75-31-0, 2-Propanamine, reactions 100-46-9, Benzylamine, reactions
103-72-0, Phenyl isothiocyanate 107-15-3, 1,2-Ethanediamine, reactions
109-76-2, 1,3-Diaminopropane 109-85-3 123-75-1, Pyrrolidine, reactions
506-59-2, Dimethylaminehydrochloride 536-74-3, Phenylacetylene
540-38-5, 4-Iodophenol 542-85-8, Ethyl isothiocyanate 557-66-4, Ethylaminehydrochloride 611-71-2, (R)(-)-Mandelic acid 628-03-5
811-93-8, 1,1-Dimethylethylenediamine 1111-97-3, 3-Chloro-3-methyl-1-butyne 1544-68-9, 4-Fluorophenyl isothiocyanate 1645-65-4, 4-Trifluoromethylphenyl isothiocyanate 2131-55-7, 4-Chlorophenyl isothiocyanate 2131-61-5, (4-Nitrophenyl)isothiocyanate 2284-20-0, 4-Methoxyphenyl isothiocyanate 2392-68-9, 3-Chlorophenylisothiocyanate 2740-81-0, 2-Chlorophenyl isothiocyanate 2759-28-6 3731-51-9, 2-(Aminomethyl)pyridine 3731-52-0, 3-(Aminomethyl)pyridine 3731-53-1, 4-(Aminomethyl)pyridine 4788-37-8 6590-94-9, 3,4-Dichlorophenyl isothiocyanate 10191-60-3 16035-50-0 17199-29-0 17292-62-5, Monosodium cyanamide 33143-29-2 65018-90-8 79463-77-7, Diphenyl cyanocarbonimidate 86776-58-1 86823-96-3 108031-11-4 139674-35-4 139768-71-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of cardiovascular agents)

IT **127249-72-3P 134017-82-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

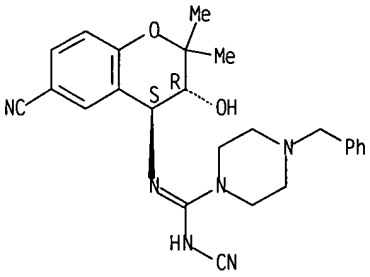
(preparation of, as cardiovascular agent)
RN 127249-72-3 HCAPLUS
CN 1-Pyrrolidinecarboximidamide, N-cyano-N'-(6-cyano-3,4-dihydro-3-hydroxy-2,2-dimethyl-2H-1-benzopyran-4-yl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.




RN 134017-82-6 HCAPLUS
CN 1-Piperazinecarboximidamide, N-cyano-N'-(6-cyano-3,4-dihydro-3-hydroxy-2,2-dimethyl-2H-1-benzopyran-4-yl)-4-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.




L24 ANSWER 9 OF 18 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1993:22146 HCAPLUS
DN 118:22146
ED Entered STN: 24 Jan 1993
TI Preparation of N-cyano-N'-(azabicycloalkyl)indolineiminocarboxamides and analogs as 5HT3 receptor antagonists
IN King, Francis David; Gaster, Laramie Mary
PA SmithKline Beecham PLC, UK
SO PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07D451-04
ICS C07D491-08; A61K031-46
ICI C07D491-08, C07D265-00, C07D221-00
CC 27-16 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 9214733 | A1 | 19920903 | WO 1992-GB310 | 19920220 <-- |

W: AU, CA, JP, KR, RU, US
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE

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     AU 9212095          A1    19920915    AU 1992-12095          19920220 <--
PRAI GB 1991-3839        A     19910223  <--
     WO 1992-GB310       A     19920220  <--
CLASS
 PATENT NO.      CLASS  PATENT FAMILY CLASSIFICATION CODES
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 WO 9214733      ICM    C07D451-04
                 ICS    C07D491-08; A61K031-46
                 ICI    C07D491-08, C07D265-00, C07D221-00
OS   MARPAT 118:22146
GI
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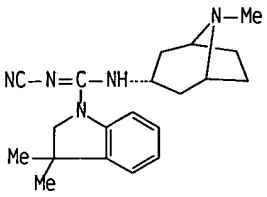



I

AB XC(:Y)NHZ [X = (anellated) Ph, -heteroaryl; Y = NCN, NR1, CR1; R1 = NO2, COR2, SO2R2; R2 = alkyl, NH2, alkylamino, (substituted) Ph; Z = diazacycloalkyl, azabicycloalkyl] were prepared as 5HT3 receptor antagonists (no data). Thus, 3,3-dimethylindoline was condensed with (MeS)2C:NCN and the product condensed with 8-methyl-8-azabicyclo[3.2.1]octan-3-amine to give endo-I.

ST indolinyliminocarboxamide prepn 5HT receptor antagonist

IT Analgesics
Antiemetics
Nervous system agents
(N-cyano-N'-(azabicycloalkyl)indolineiminocarboxamides and analogs)

IT Digestive tract
(disease, treatment of, N-cyano-N'-(azabicycloalkyl)indolineiminocarbox amides and analogs for)

IT 145127-19-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of 5-HT receptor antagonist)

IT **145127-16-8P** 145127-17-9P **145127-18-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as 5-HT receptor antagonist)

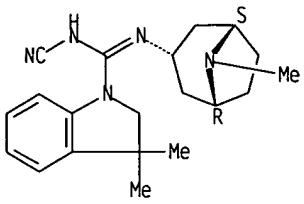
IT 1914-02-9, 3,3-Dimethylindoline 10191-60-3 66031-28-5, 1,1-Bis(methylthio)-2-nitroethane 87571-88-8 130914-52-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of 5-HT receptor antagonist)

IT **145127-16-8P 145127-18-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as 5-HT receptor antagonist)

RN 145127-16-8 HCAPLUS

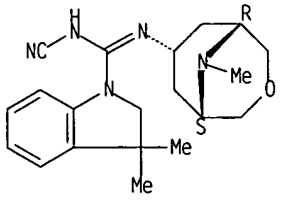
CN 1H-Indole-1-carboximidamide, N-cyano-2,3-dihydro-3,3-dimethyl-N'-(8-methyl-8-azabicyclo[3.2.1]oct-3-yl)-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



RN 145127-18-0 HCAPLUS
CN 1H-Indole-1-carboximidamide, N-cyano-2,3-dihydro-3,3-dimethyl-N'-(9-methyl-3-oxa-9-azabicyclo[3.3.1]non-7-yl)-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



L24 ANSWER 10 OF 18 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1992:255603 HCAPLUS
DN 116:255603
ED Entered STN: 27 Jun 1992
TI Preparation of pyridylpyrrolothiazole derivatives as platelet activating factor (PAF) antagonists
IN Mase, Toshiyasu; Kondo, Yutaka; Nagaoka, Hitoshi; Yamada, Toshimitsu; Tomioka, Kenichi
PA Yamanouchi Pharmaceutical Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 9 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
IC ICM C07D513-04
ICS A61K031-425
CC 28-7 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI JP 03287587 | A2 | 19911218 | JP 1990-90960 | 19900404 <-- |
| PRAI JP 1990-90960 | | 19900404 <-- | | |

CLASS

| PATENT NO. | CLASS | PATENT FAMILY CLASSIFICATION CODES |
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| JP 03287587 | ICM | C07D513-04 |
| | ICS | A61K031-425 |

OS MARPAT 116:255603
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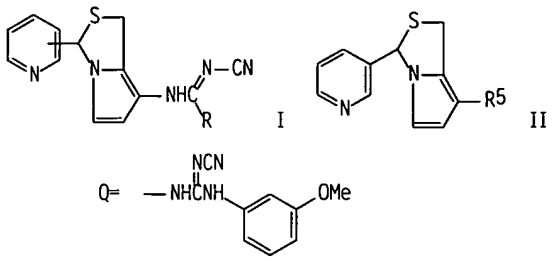


AB The title compds. (I; R = NR1R2; R1, R2 = H, alkyl, XC6H4R3; X = single bond, alkylene; R3 = H, alkyl, OH, alkoxy, aralkyloxy, aryloxy, acyl) and their intermediate isourea derivs. I [R = YR4; Y = O, S; R4 = lower alkyl or (un)substituted Ph], which themselves also show anti-PAf activity, are prepared Thus, acylation of a pyrrolo[1,2-c]thiazole derivative (II; R5 = NH2) with di-Ph N-cyanocarbonimidate in 2-propanol at 90.degree. overnight and condensation of the resulting II [R5 = NHC(:NCN)OPh] with 4-phenylbutylamine in 2-propanol at 90.degree. overnight gave II [R5 = NHC(:NCN)NH(CH2)4Ph]. II (R5 = Q) in vitro inhibited PAF-induced coagulation of rabbit blood platelets with IC50 of 4.5 .times. 10-8 M. Addnl. 8 I were prepared

ST pyridylpyrrolothiazolylguanidine prepn PAF antagonist; pyrrolothiazole pyridyl guanidino PAF antagonist; platelet activating factor antagonist

IT 79463-77-7, Diphenyl N-cyanocarbonimidate
RL: RCT (Reactant); RACT (Reactant or reagent)
(acylation by, of aminopyrrolothiazole derivative)

IT 135611-15-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(acylation of, by di-ph N-cyanocarbonimidate)

IT 65154-06-5, Platelet activating factor
RL: RCT (Reactant); RACT (Reactant or reagent)
(antagonists, (pyridylpyrrolothiazolyl)guanidine derivs.)

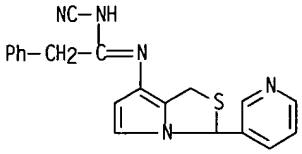
IT 64-04-0, Phenethylamine 100-46-9, Benzylamine, reactions 104-94-9, p-Anisidine 107-10-8, 1-Propylamine, reactions 536-90-3, m-Anisidine 2835-78-1 3586-12-7, m-Phenoxyaniline 13214-66-9, 4-Phenylbutylamine 41406-00-2, m-Isopropyloxyaniline
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation of, with O-phenyl-N'-pyrrolothiazolylisourea derivative)

IT 141187-35-1P 141187-36-2P 141187-37-3P **141187-38-4P**
141187-39-5P **141187-40-8P** 141187-41-9P 141187-42-0P
141187-43-1P 141187-44-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as platelet activating factor antagonist)

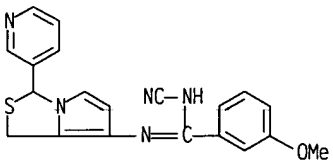
IT **141187-38-4P 141187-40-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as platelet activating factor antagonist)

RN 141187-38-4 HCAPLUS

CN Benzeneethanimidamide, N-cyano-N'-[3-(3-pyridinyl)-1H,3H-pyrrolo[1,2-c]thiazol-7-yl]- (9CI) (CA INDEX NAME)



RN 141187-40-8 HCAPLUS
CN Benzenecarboximidamide, N-cyano-3-methoxy-N'-[3-(3-pyridinyl)-1H-pyrrolo[1,2-c]thiazol-7-yl]- (9CI) (CA INDEX NAME)



L24 ANSWER 11 OF 18 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1991:449406 HCAPLUS
DN 115:49406
ED Entered STN: 10 Aug 1991
TI Preparation of 6-cyano-2,2-dimethyl-4-[(N-cyanoimidoyl)amino]-2H-benzo[b]pyrans and analogs as antihypertensives and bronchodilators
IN Ohtuka, Katuyuki; Ishiyama, Nobuo; Iida, Yasuhito; Seri, Kenji; Murai, Takeshi; Sanai, Kazuko; Ishizaka, Yoshihiro
PA Kaken Pharmaceutical Co., Ltd., Japan
SO Eur. Pat. Appl., 41 pp.
CODEN: EPXXDW
DT Patent
LA English
IC ICM C07D311-68
ICS A61K031-35
CC 27-14 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1, 63
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | EP 412531 | A1 | 19910213 | EP 1990-115242 | 19900808 <-- |
| | EP 412531 | B1 | 19940511 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| | US 5095016 | A | 19920310 | US 1990-562577 | 19900803 <-- |
| | CA 2022882 | AA | 19910212 | CA 1990-2022882 | 19900808 <-- |
| | AT 105556 | E | 19940515 | AT 1990-115242 | 19900808 <-- |
| | AU 9060844 | A1 | 19910214 | AU 1990-60844 | 19900809 <-- |
| | AU 624526 | B2 | 19920611 | | |
| | NO 9003524 | A | 19910212 | NO 1990-3524 | 19900810 <-- |
| | NO 174050 | B | 19931129 | | |
| | NO 174050 | C | 19940309 | | |
| | HU 54673 | A2 | 19910328 | HU 1990-4956 | 19900810 <-- |
| | JP 03279377 | A2 | 19911210 | JP 1990-213529 | 19900810 <-- |
| | JP 3037979 | B2 | 20000508 | | |
| | JP 03279378 | A2 | 19911210 | JP 1990-213530 | 19900810 <-- |
| | JP 3037980 | B2 | 20000508 | | |
| | CN 1049500 | A | 19910227 | CN 1990-107121 | 19900811 <-- |
| PRAI | JP 1989-208547 | A | 19890811 <-- | | |
| | JP 1989-341528 | A | 19891229 <-- | | |
| | JP 1990-73653 | A | 19900323 <-- | | |
| | JP 1990-73654 | A | 19900323 <-- | | |

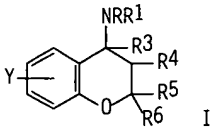
EP 1990-115242 A 19900808 <--

CLASS

| PATENT NO. | CLASS | PATENT FAMILY CLASSIFICATION CODES |
|---|---|---|
| EP 412531 | ICM | C07D311-68 |
| | ICS | A61K031-35 |

OS MARPAT 115:49406

GI



I

AB Title compds. [I; R = R2C:NCN; R1 = H, (un) substituted alkyl, alkenyl, alkynyl, R7CO; R2 = (substituted) alkyl, Ph; R3 = H; R4 = OH; R3R4 = bond; R5, R6 = alkyl; R7 = alkyl, Ph, alkoxy, (Ph substituted) alkenyl; Y = cyano, halo, NO2, alkyl(carbonyl), alkynyl, carboxyl, aryl, morpholinocarbonyl, etc.] (II) or their pharmaceutically acceptable salts, were prepared, e.g., by reaction of aminobenzopyranols (I; R = R1 = H, R3 = OH, Y .noteq. CO2H) with R2(OR8)C:NCN (R8 = alkyl, R2 as above). Thus, a mixture of 1.23 g N-cyanoacetimidate and 2.18 g 6-cyano-3,4-dihydro-2,2-dimethyl-trans-4-amino-2H-benzo[b]pyran-3-ol was stirred for 2 h at 100-120.degree. to give 1.75 g title compound (I; R = CMe:NCN, R1 = R3 = H, R4 = OH, R5 = R6 = Me, Y = 6-cyano) (III). The latter at 3 mg/kg orally in rats reduced blood pressure to 56% of preadministration values after 3 h. In vitro 3 .times. 10-6M III gave 41.6% relaxation of guinea pig trachial smooth muscle.

ST cyanodimethylcyanoimidoylaminobenzopyran prepn antihypertensive bronchodilator; benzopyranylaminocyanoimidate prepn antihypertensive bronchodilator

IT Antihypertensives
Bronchodilators
(cyanodimethyl(cyanoimidoylamino)benzopyran and analogs)

IT 75-36-5, Acetyl chloride
RL: RCT (Reactant); RACT (Reactant or reagent)
(acylation by, of aminobenzopyran derivative, in preparation of hypertensive and bronchodilator)

IT 110-91-8, Morpholine, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(amidation by, of carboxybenzopyran derivative, in preparation of antihypertensive and bronchodilator)

IT 105-36-2, Ethyl bromoacetate
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation of, with aminobenzopyran derivative, in preparation of antihypertensive and bronchodilator)

IT 134017-97-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and desilylation of, in preparation of antihypertensive and bronchodilator)

IT **134828-13-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and elimination reaction of, in preparation of antihypertensive and bronchodilator)

IT **133178-23-1P 133178-25-3P 133178-26-4P**
**133178-28-6P 133178-29-7P 133178-31-1P**
**133178-32-2P 133178-35-5P 133178-36-6P**
**133178-37-7P 133178-41-3P 133178-44-6P**

**133178-48-0P 133178-50-4P 133178-55-9P**
**134827-45-5P** 134827-46-6P 134827-47-7P 134827-48-8P
**134827-49-9P** 134827-50-2P 134827-51-3P **134827-52-4P**
**134827-53-5P 134827-54-6P 134827-55-7P**
**134827-56-8P 134827-57-9P 134827-58-0P**
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**134827-74-0P 134827-75-1P 134827-76-2P**
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134828-01-6P 134828-02-7P 134828-03-8P 134828-04-9P 134828-05-0P
134828-06-1P 134828-07-2P **134828-08-3P 134828-09-4P**
**134828-10-7P 134828-11-8P** 134828-12-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antihypertensive and bronchodilator)

IT 1558-82-3 4428-98-2, Ethyl N-cyanoformimidate 33490-49-2 54356-31-9, Ethyl N-cyanopropionimidate 54356-33-1 100220-54-0, Ethyl N-cyanobutyrimidate
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with aminobenzopyran derivative, in preparation of antihypertensive and bronchodilator)

IT 86776-58-1 89316-91-6 134828-14-1 134828-15-2 134828-16-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with cyanoimidates, in preparation of antihypertensives and bronchodilators)

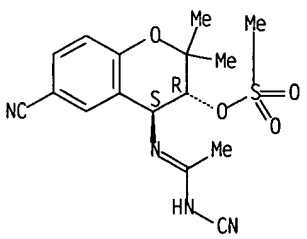
IT 1066-54-2, Trimethylsilyl acetylene
RL: RCT (Reactant); RACT (Reactant or reagent)
(substitution reaction of, with bromobenzopyran derivative, in preparation of antihypertensive and bronchodilator)

IT 122262-14-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(substitution reaction of, with silylacetylene, in preparation of antihypertensive and bronchodilator)

IT **134828-13-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and elimination reaction of, in preparation of antihypertensive and bronchodilator)

RN 134828-13-0 HCAPLUS
CN Ethanimidamide, N-cyano-N'-[6-cyano-3,4-dihydro-2,2-dimethyl-3-[(methylsulfonyl)oxy]-2H-1-benzopyran-4-yl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.




IT **133178-23-1P 133178-25-3P 133178-26-4P**

**133178-28-6P 133178-29-7P 133178-31-1P**
**133178-32-2P 133178-35-5P 133178-36-6P**
**133178-37-7P 133178-41-3P 133178-44-6P**
**133178-48-0P 133178-50-4P 133178-55-9P**
**134827-45-5P 134827-49-9P 134827-52-4P**
**134827-53-5P 134827-54-6P 134827-55-7P**
**134827-56-8P 134827-57-9P 134827-58-0P**
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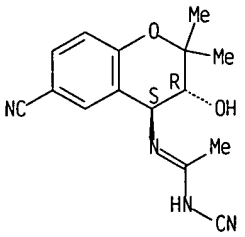
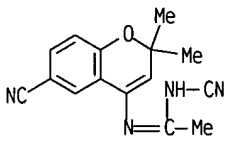
**134827-71-7P 134827-72-8P 134827-73-9P**
**134827-74-0P 134827-75-1P 134827-76-2P**
**134827-77-3P 134827-78-4P 134828-08-3P**
**134828-09-4P 134828-10-7P 134828-11-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antihypertensive and bronchodilator)

RN 133178-23-1 HCAPLUS
CN Ethanimidamide, N-cyano-N'-(6-cyano-3,4-dihydro-3-hydroxy-2,2-dimethyl-2H-1-benzopyran-4-yl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.

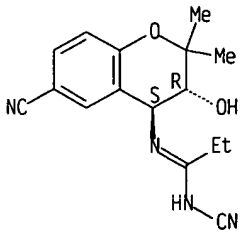



RN 133178-25-3 HCAPLUS
CN Ethanimidamide, N-cyano-N'-(6-cyano-2,2-dimethyl-2H-1-benzopyran-4-yl)-(9CI) (CA INDEX NAME)

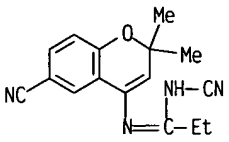



RN 133178-26-4 HCAPLUS
CN Propanimidamide, N-cyano-N'-(6-cyano-3,4-dihydro-3-hydroxy-2,2-dimethyl-2H-1-benzopyran-4-yl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.

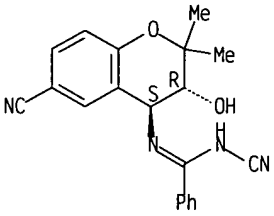


RN 133178-28-6 HCAPLUS
CN Propanimidamide, N-cyano-N'-(6-cyano-2,2-dimethyl-2H-1-benzopyran-4-yl)-
(9CI) (CA INDEX NAME)



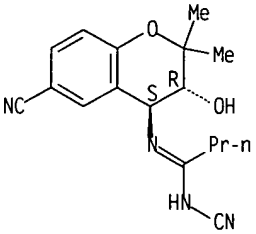
RN 133178-29-7 HCAPLUS
CN Benzenecarboximidamide, N-cyano-N'-(6-cyano-3,4-dihydro-3-hydroxy-2,2-
dimethyl-2H-1-benzopyran-4-yl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



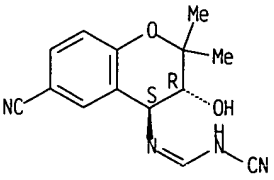
RN 133178-31-1 HCAPLUS
CN Butanimidamide, N-cyano-N'-(6-cyano-3,4-dihydro-3-hydroxy-2,2-dimethyl-2H-
1-benzopyran-4-yl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



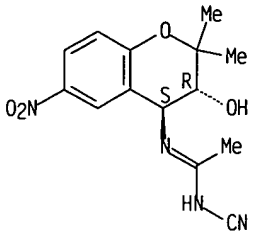
RN 133178-32-2 HCAPLUS
CN Methanimidamide, N-cyano-N'-(6-cyano-3,4-dihydro-3-hydroxy-2,2-dimethyl-2H-
1-benzopyran-4-yl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



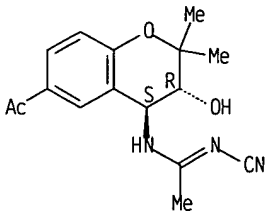
RN 133178-35-5 HCAPLUS
CN Ethanimidamide, N-cyano-N'-(3,4-dihydro-3-hydroxy-2,2-dimethyl-6-nitro-2H-1-benzopyran-4-yl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



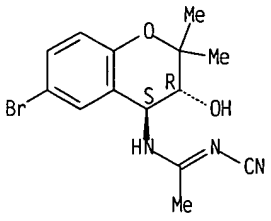
RN 133178-36-6 HCAPLUS
CN Ethanimidamide, N-(6-acetyl-3,4-dihydro-3-hydroxy-2,2-dimethyl-2H-1-benzopyran-4-yl)-N'-cyano-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.

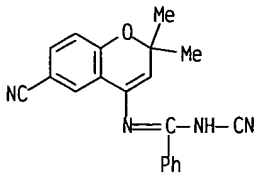


RN 133178-37-7 HCAPLUS
CN Ethanimidamide, N-(6-bromo-3,4-dihydro-3-hydroxy-2,2-dimethyl-2H-1-benzopyran-4-yl)-N'-cyano-, trans- (9CI) (CA INDEX NAME)

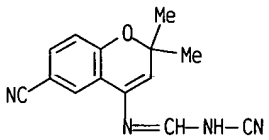
Relative stereochemistry.
Double bond geometry unknown.



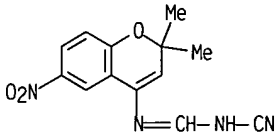
RN 133178-41-3 HCAPLUS
CN Benzenecarboximidamide, N-cyano-N'-(6-cyano-2,2-dimethyl-2H-1-benzopyran-4-yl)- (9CI) (CA INDEX NAME)



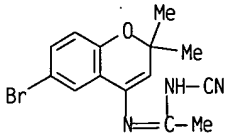
RN 133178-44-6 HCAPLUS
CN Methanimidamide, N-cyano-N'-(6-cyano-2,2-dimethyl-2H-1-benzopyran-4-yl)-
(9CI) (CA INDEX NAME)



RN 133178-48-0 HCAPLUS
CN Methanimidamide, N-cyano-N'-(2,2-dimethyl-6-nitro-2H-1-benzopyran-4-yl)-
(9CI) (CA INDEX NAME)

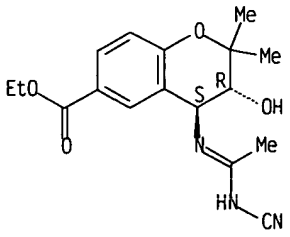


RN 133178-50-4 HCAPLUS
CN Ethanimidamide, N-(6-bromo-2,2-dimethyl-2H-1-benzopyran-4-yl)-N'-cyano-
(9CI) (CA INDEX NAME)



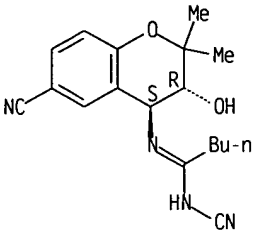
RN 133178-55-9 HCAPLUS
CN 2H-1-Benzopyran-6-carboxylic acid, 4-[[1-(cyanoamino)ethylidene]amino]-3,4-
dihydro-3-hydroxy-2,2-dimethyl-, ethyl ester, trans- (9CI) (CA INDEX
NAME)

Relative stereochemistry.
Double bond geometry unknown.



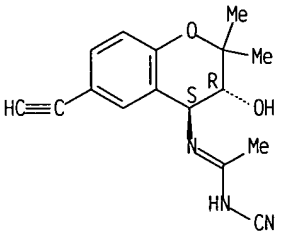
RN 134827-45-5 HCAPLUS
CN Pentanimidamide, N-cyano-N'-(6-cyano-3,4-dihydro-3-hydroxy-2,2-dimethyl-2H-1-benzopyran-4-yl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



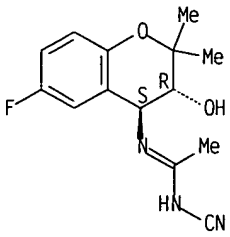
RN 134827-49-9 HCAPLUS
CN Ethanimidamide, N-cyano-N'-(6-ethynyl-3,4-dihydro-3-hydroxy-2,2-dimethyl-2H-1-benzopyran-4-yl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



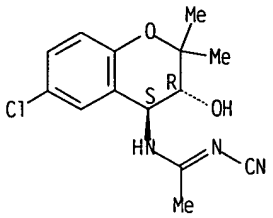
RN 134827-52-4 HCAPLUS
CN Ethanimidamide, N-cyano-N'-(6-fluoro-3,4-dihydro-3-hydroxy-2,2-dimethyl-2H-1-benzopyran-4-yl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



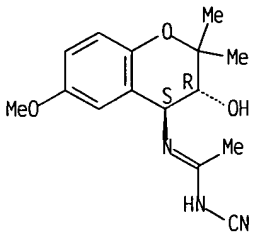
RN 134827-53-5 HCAPLUS
CN Ethanimidamide, N-(6-chloro-3,4-dihydro-3-hydroxy-2,2-dimethyl-2H-1-benzopyran-4-yl)-N'-cyano-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



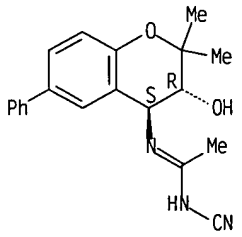
RN 134827-54-6 HCAPLUS
CN Ethanimidamide, N-cyano-N'-(3,4-dihydro-3-hydroxy-6-methoxy-2,2-dimethyl-2H-1-benzopyran-4-yl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



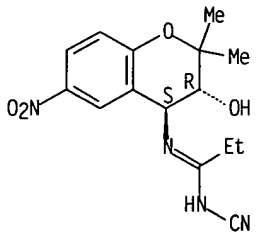
RN 134827-55-7 HCAPLUS
CN Ethanimidamide, N-cyano-N'-(3,4-dihydro-3-hydroxy-2,2-dimethyl-6-phenyl-2H-1-benzopyran-4-yl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



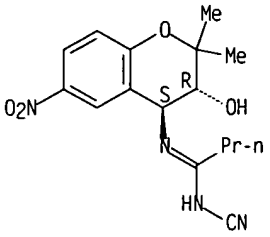
RN 134827-56-8 HCAPLUS
CN Propanimidamide, N-cyano-N'-(3,4-dihydro-3-hydroxy-2,2-dimethyl-6-nitro-2H-1-benzopyran-4-yl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



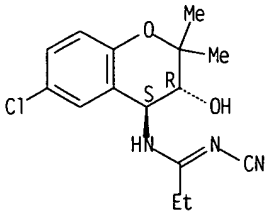
RN 134827-57-9 HCAPLUS
CN Butanimidamide, N-cyano-N'-(3,4-dihydro-3-hydroxy-2,2-dimethyl-6-nitro-2H-1-benzopyran-4-yl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



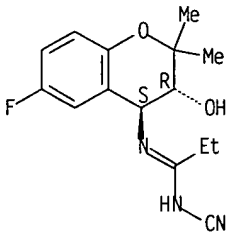
RN 134827-58-0 HCAPLUS
CN Propanimidamide, N-(6-chloro-3,4-dihydro-3-hydroxy-2,2-dimethyl-2H-1-benzopyran-4-yl)-N'-cyano-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



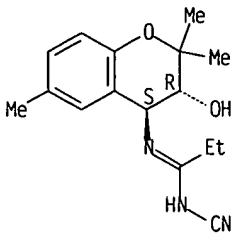
RN 134827-59-1 HCAPLUS
CN Propanimidamide, N-cyano-N'-(6-fluoro-3,4-dihydro-3-hydroxy-2,2-dimethyl-2H-1-benzopyran-4-yl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



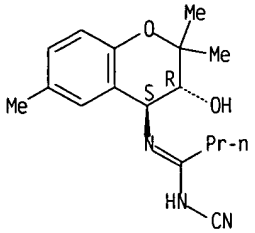
RN 134827-60-4 HCAPLUS
CN Propanimidamide, N-cyano-N'-(3,4-dihydro-3-hydroxy-2,2,6-trimethyl-2H-1-benzopyran-4-yl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



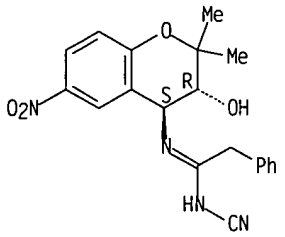
RN 134827-61-5 HCAPLUS
CN Butanimidamide, N-cyano-N'-(3,4-dihydro-3-hydroxy-2,2,6-trimethyl-2H-1-benzopyran-4-yl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



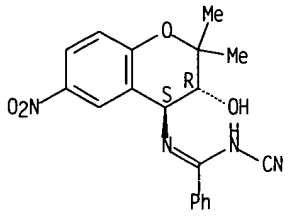
RN 134827-62-6 HCAPLUS
CN Benzeneethanimidamide, N-cyano-N'-(3,4-dihydro-3-hydroxy-2,2-dimethyl-6-nitro-2H-1-benzopyran-4-yl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



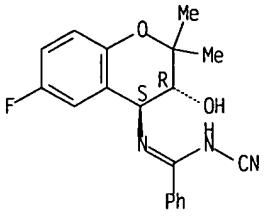
RN 134827-63-7 HCAPLUS
CN Benzenecarboximidamide, N-cyano-N'-(3,4-dihydro-3-hydroxy-2,2-dimethyl-6-nitro-2H-1-benzopyran-4-yl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



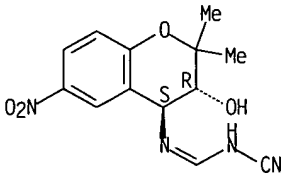
RN 134827-64-8 HCAPLUS
CN Benzenecarboximidamide, N-cyano-N'-(6-fluoro-3,4-dihydro-3-hydroxy-2,2-dimethyl-2H-1-benzopyran-4-yl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



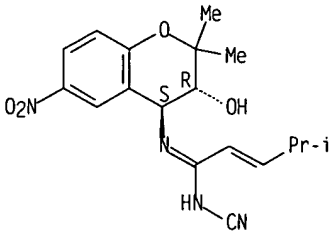
RN 134827-65-9 HCAPLUS
CN Methanimidamide, N-cyano-N'-(3,4-dihydro-3-hydroxy-2,2-dimethyl-6-nitro-2H-1-benzopyran-4-yl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



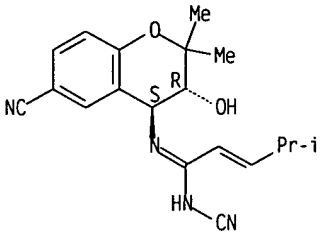
RN 134827-66-0 HCAPLUS
CN 2-Pentenimidamide, N-cyano-N'-(3,4-dihydro-3-hydroxy-2,2-dimethyl-6-nitro-2H-1-benzopyran-4-yl)-4-methyl-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



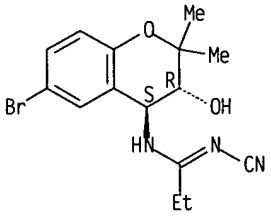
RN 134827-67-1 HCAPLUS
CN 2-Pentenimidamide, N-cyano-N'-(6-cyano-3,4-dihydro-3-hydroxy-2,2-dimethyl-2H-1-benzopyran-4-yl)-4-methyl-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.

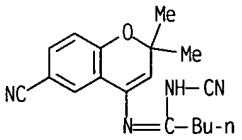


RN 134827-68-2 HCAPLUS
CN Propanimidamide, N-(6-bromo-3,4-dihydro-3-hydroxy-2,2-dimethyl-2H-1-benzopyran-4-yl)-N'-cyano-, trans- (9CI) (CA INDEX NAME)

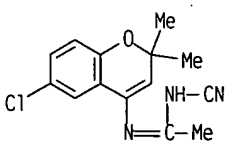
Relative stereochemistry.
Double bond geometry unknown.



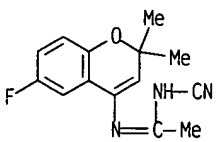
RN 134827-69-3 HCAPLUS
CN Pentanimidamide, N-cyano-N'-(6-cyano-2,2-dimethyl-2H-1-benzopyran-4-yl)- (9CI) (CA INDEX NAME)



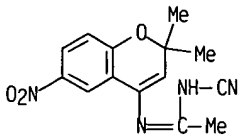
RN 134827-70-6 HCAPLUS
CN Ethanimidamide, N-(6-chloro-2,2-dimethyl-2H-1-benzopyran-4-yl)-N'-cyano- (9CI) (CA INDEX NAME)



RN 134827-71-7 HCAPLUS
CN Ethanimidamide, N-cyano-N'-(6-fluoro-2,2-dimethyl-2H-1-benzopyran-4-yl)- (9CI) (CA INDEX NAME)



RN 134827-72-8 HCAPLUS
CN Ethanimidamide, N-cyano-N'-(2,2-dimethyl-6-nitro-2H-1-benzopyran-4-yl)- (9CI) (CA INDEX NAME)



RN 134827-73-9 HCAPLUS
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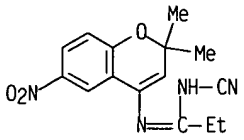

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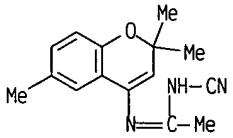
CN Ethanimidamide, N-cyano-N'-(2,2,6-trimethyl-2H-1-benzopyran-4-yl)- (9CI) (CA INDEX NAME)



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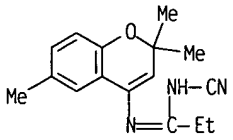
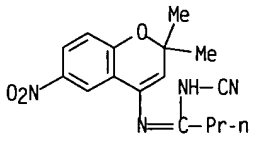
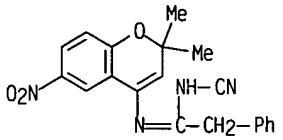
CN Propanimidamide, N-cyano-N'-(2,2,6-trimethyl-2H-1-benzopyran-4-yl)- (9CI) (CA INDEX NAME)



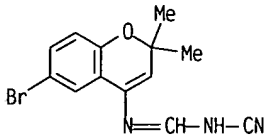
RN 134827-76-2 HCAPLUS
CN Butanimidamide, N-cyano-N'-(2,2-dimethyl-6-nitro-2H-1-benzopyran-4-yl)- (9CI) (CA INDEX NAME)



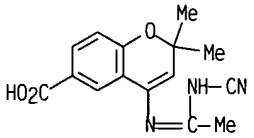
RN 134827-77-3 HCAPLUS
CN Benzeneethanimidamide, N-cyano-N'-(2,2-dimethyl-6-nitro-2H-1-benzopyran-4-yl)- (9CI) (CA INDEX NAME)



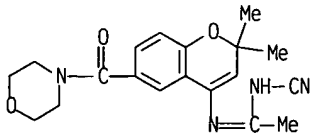
RN 134827-78-4 HCAPLUS
CN Methanimidamide, N-(6-bromo-2,2-dimethyl-2H-1-benzopyran-4-yl)-N'-cyano- (9CI) (CA INDEX NAME)



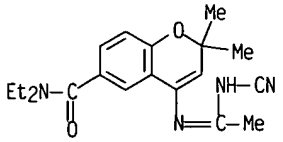
RN 134828-08-3 HCAPLUS
CN 2H-1-Benzopyran-6-carboxylic acid, 4-[[1-(cyanoamino)ethylidene]amino]-2,2-dimethyl- (9CI) (CA INDEX NAME)



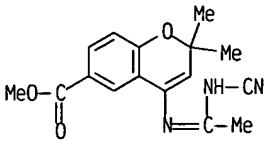
RN 134828-09-4 HCAPLUS
CN Morpholine, 4-[[4-[[1-(cyanoamino)ethylidene]amino]-2,2-dimethyl-2H-1-benzopyran-6-yl]carbonyl]- (9CI) (CA INDEX NAME)



RN 134828-10-7 HCAPLUS
CN 2H-1-Benzopyran-6-carboxamide, 4-[[1-(cyanoamino)ethylidene]amino]-N,N-diethyl-2,2-dimethyl- (9CI) (CA INDEX NAME)



RN 134828-11-8 HCAPLUS
CN 2H-1-Benzopyran-6-carboxylic acid, 4-[[1-(cyanoamino)ethylidene]amino]-2,2-dimethyl-, methyl ester (9CI) (CA INDEX NAME)



L24 ANSWER 12 OF 18 HCAPLUS COPYRIGHT 2005 ACS on STN
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DN 114:247115
ED Entered STN: 28 Jun 1991
TI Preparation of N"-cyano-N-(6-cyano-3-hydroxy-3,4-dihydro-2H-1-benzopyran-4-yl)guanidines and analogs as cardiovascular agents
IN Atwal, Karnail; Grover, Gary James; Kim, Kyoung Soon
PA E. R. Squibb and Sons, Inc., USA
SO Eur. Pat. Appl., 34 pp.
CODEN: EPXXDW
DT Patent
LA English
IC ICM C07D311-68
ICS C07D405-04; C07D491-04; A61K031-35; C07D405-14
CC 27-7 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1
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| | EP 401010 | B1 | 19960821 | | |
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| | IL 94326 | A1 | 19951208 | IL 1990-94326 | 19900508 <-- |
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| | HU 55055 | A2 | 19910429 | HU 1990-3271 | 19900530 <-- |
| | HU 207857 | B | 19930628 | | |
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| | RU 2057129 | C1 | 19960327 | RU 1990-4830194 | 19900530 <-- |
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| | PL 166230 | B1 | 19950428 | PL 1990-290036 | 19900531 <-- |
| | PL 166192 | B1 | 19950428 | PL 1990-290037 | 19900531 <-- |
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| | IN 178152 | A | 19970308 | IN 1992-DE96 | 19920207 <-- |
| | IN 180495 | A | 19980207 | IN 1992-DE1111 | 19921126 <-- |
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| | US 1990-493060 | A | 19900313 <-- | | |
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CLASS

| PATENT NO. | CLASS | PATENT FAMILY CLASSIFICATION CODES |
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| | ICS | C07D405-04; C07D491-04; A61K031-35; C07D405-14 |
| EP 401010 | ECLA | C07D311/68; C07D405/04+311+239B; C07D405/06+311+213; C07D491/04+311B+221B; C07F009/655P60; C07F; C07F009/6561; C07F009/6571L4 <-- |
| PL 166174 | ECLA | C07F009/655P60; C07F009/6571L4; C07F009/6561; C07F009/6558C; C07D491/04+311B+221B; C07D405/06+311+213; C07D405/04+311+239B; C07D311/68 <-- |
| PL 166230 | ECLA | C07F009/655P60; C07D311/68; C07F009/6561; C07F009/6558C; C07F009/6571L4; C07D491/04+311B+221B; C07D040/06+311+213; C07D405/04+311+239B <-- |
| PL 166192 | ECLA | C07F009/6561; C07F009/655P60; C07F009/6571L4; C07F009/6558C; C07D405/06+311+213; C07D491/04+311B+221B; C07D311/68; C07D405/04+311+239B <-- |

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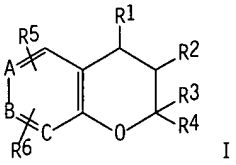


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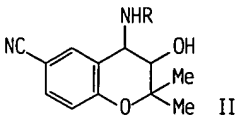



II

AB The title compds. [I; A, B, C = CH or 1 of A, B, C = N or NO and the others = CH; R1 = R7R8NC(:NCN)NR9; R2 = H, OH, OAc; R3, R4 = H, (ar)alkyl; R3 R4 = atoms to form a 5- to 7-membered carbocyclic ring; R5 = H, (halo)alkyl, alkenyl, cyano, etc.; R6 = H, OH, alkoxy, cyano, NO2, (substituted) NH2; R7, R8 = H, (cyclo)alkyl, aryl, heterocyclyl, etc.; NR7R8 = (un)substituted heterocyclyl; R9 = H, (cyclo)alkyl, alkenyl, aryl, etc.; R8R9 = antoms to complete a 5- to 7-membered (un)substituted ring], K-channel activators, were prepared as antiischemics and antihypertensive (no data). Thus, aminobenzopyran trans-II (R = H) was condensed with NCNHCSNHCMe2Et (preparation given) to given trans-II [R = NHC(:NCN)NHCMe2Et].

ST cyanoguanidine cyanohydroxybenzopyranyl prepn cardiovascular; benzopyranylcyanoguanidine prepn antiischemic antihypertensive

IT Antihypertensives
(N''-cyano-N-(cyanohydroxydihydrobenzopyranyl)guanidines and analogs)

IT 41835-08-9P 89125-07-5P 118581-55-8P 127419-05-0P 127749-52-4P
129180-58-1P 129180-59-2P 129462-66-4P 130228-87-4P 134017-89-3P
134017-90-6P 134017-91-7P 134017-92-8P 134017-93-9P 134017-94-0P
134017-95-1P 134017-96-2P 134017-97-3P 134017-98-4P 134017-99-5P
134018-00-1P 134018-01-2P 134028-71-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of cardiovascular agents)

IT 127249-54-1P 127249-61-0P 127249-68-7P 127249-69-8P 127249-70-1P
127249-71-2P **127249-72-3P** 127249-80-3P 130228-92-1P
130228-95-4P 134017-78-0P 134017-79-1P 134017-80-4P 134017-81-5P
**134017-82-6P** 134017-83-7P 134017-84-8P 134017-85-9P
134017-86-0P 134017-87-1P 134017-88-2P 134035-97-5P 134053-73-9P
134053-74-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as cardiovascular agent)

IT 75-31-0, Isopropylamine, reactions 100-46-9, Benzylamine, reactions
103-72-0, Phenylisothiocyanate 107-15-3, Ethylenediamine, reactions

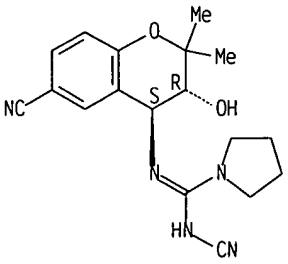
109-76-2, 1,3-Diaminopropane 123-75-1, Pyrrolidine, reactions
536-74-3, Phenylacetylene 540-38-5, 4-Iodophenol 542-85-8, Ethyl
isothiocyanate 597-97-7 611-71-2, (R)-(-)-Mandelic acid 811-93-8,
1,1-Dimethylethylenediamine 1111-97-3, 3-Chloro-3-methyl-1-butyne
2759-28-6 3731-52-0, 3-(Aminomethyl)pyridine 3731-53-1,
4-(Aminomethyl)pyridine 4788-37-8 10191-60-3 16035-50-0
17199-29-0, (S)-(+)-Mandelic acid 17292-62-5, Monosodium cyanamide
65018-90-8 79463-77-7, Diphenylcyanocarbonimidate 86776-58-1
86823-96-3 89316-91-6 108031-11-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of cardiovascular agents)

IT 7440-09-7, Potassium, biological studies
RL: BPR (Biological process); BIOL (Biological study); PROC (Process)
(transport of, activation of, N''-cyano-N-(cyanohydroxydihydrobenzopyra
nyl)guanidines and analogs as)

IT **127249-72-3P 134017-82-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as cardiovascular agent)

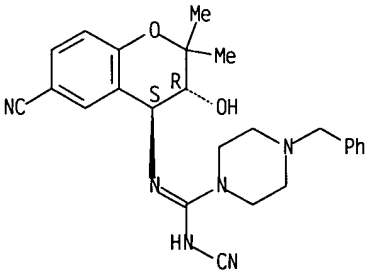
RN 127249-72-3 HCAPLUS
CN 1-Pyrrolidinecarboximidamide, N-cyano-N'-(6-cyano-3,4-dihydro-3-hydroxy-
2,2-dimethyl-2H-1-benzopyran-4-yl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.




RN 134017-82-6 HCAPLUS
CN 1-Piperazinecarboximidamide, N-cyano-N'-(6-cyano-3,4-dihydro-3-hydroxy-2,2-
dimethyl-2H-1-benzopyran-4-yl)-4-(phenylmethyl)-, trans- (9CI) (CA INDEX
NAME)

Relative stereochemistry.
Double bond geometry unknown.




L24 ANSWER 13 OF 18 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1991:164007 HCAPLUS
DN 114:164007
ED Entered STN: 03 May 1991

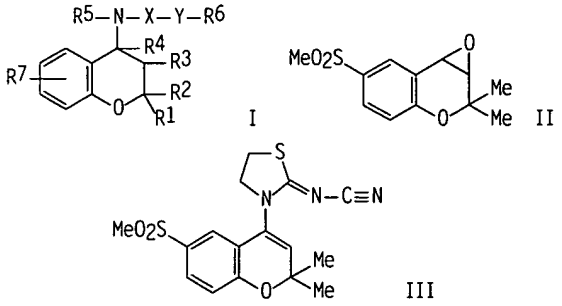
TI Preparation of benzopyran derivatives as antihypertensives
IN Shiokawa, Youichi; Takimoto, Koichi; Takenaka, Kohei; Kato, Takeshi
PA Fujisawa Pharmaceutical Co., Ltd., Japan
SO Eur. Pat. Appl., 39 pp.
CODEN: EPXXDW
DT Patent
LA English
IC ICM C07D311-68
ICS C07D405-04; C07D417-04; A61K031-35
ICI C07D405-04, C07D311-00, C07D207-00; C07D417-04, C07D311-00, C07D277-00
CC 27-14 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | EP 389861 | A1 | 19901003 | EP 1990-104702 | 19900313 <-- |
| | EP 389861 | B1 | 19950823 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| | US 5104890 | A | 19920414 | US 1990-490375 | 19900308 <-- |
| | CA 2013163 | AA | 19900928 | CA 1990-2013163 | 19900327 <-- |
| | JP 02300182 | A2 | 19901212 | JP 1990-80045 | 19900328 <-- |
| PRAI | GB 1989-6950 | A | 19890328 <-- | | |
| | GB 1989-9278 | A | 19890424 <-- | | |
| | GB 1989-26822 | A | 19891128 <-- | | |

CLASS

| PATENT NO. | CLASS | PATENT FAMILY CLASSIFICATION CODES |
|---|---|---|
| EP 389861 | ICM | C07D311-68 |
| | ICS | C07D405-04; C07D417-04; A61K031-35 |
| | ICI | C07D405-04, C07D311-00, C07D207-00; C07D417-04, C07D311-00, C07D277-00 |
| EP 389861 | ECLA | C07D311/68; C07D405/04+311C+207; C07D417/04+311C+277; C07D417/04+311C+285B; C07D417/04+311C+277B <-- |

OS MARPAT 114:164007
GI




AB Title benzopyrans I [R1,R2 = C1-6 alkyl; R3 = OH or acyloxy and R4 = H, or R3R4 = bond; R5 = H, C1-6 alkyl; R6 = H, C1-6 alkyl, aryl; or R5R6 = alkylene; R7 = cyano, acyl, halo, NO2, C1-6 alkyl, alkylsulfonyl, etc.; X = cyanoiminomethylene or SO2; Y = bond, thio, (C1-6 alkyl)imino] and related compds., useful as antihypertensives, were prepared For example, reaction of epoxide II (preparation given) with 2-(cyanoimino)thiazolidine at 100.degree. in DMF in the presence of Et2N gave the corresponding I (R3 = OH). Subsequent acetylation and reaction with DBU gave a benzopyran III. III at 1.0 mg/kg i.v. showed a 49.2% maximum decrease in blood pressure in rats.
ST benzopyran prepn antihypertensive; vasodilator benzopyran prepn
IT Antihypertensives
Vasodilators

(benzopyran derivs.)
IT 7440-09-7P, Potassium, preparation
RL: PREP (Preparation)
(channels, activators for, benzopyran derivs. for)
IT 15020-57-2P, 4-Hydroxy-N,N-dimethylbenzenesulfonamide 19013-07-1P
59907-37-8P, 4-Methoxy-N,N-dimethylbenzenesulfonamide 65018-69-1P
65018-70-4P 69964-40-5P 86823-96-3P 89316-91-6P 89316-98-3P
94470-71-0P 118383-29-2P 118383-30-5P 120728-68-9P 122262-14-0P
133178-03-7P 133178-61-7P 133178-62-8P 133178-63-9P 133178-64-0P
133178-65-1P 133178-66-2P 133178-67-3P 133178-68-4P 133178-69-5P
133946-62-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of antihypertensives)
IT 133178-04-8P 133178-05-9P 133178-06-0P 133178-07-1P 133178-08-2P
133178-09-3P 133178-10-6P 133178-11-7P 133178-12-8P 133178-13-9P
133178-14-0P 133178-15-1P 133178-16-2P 133178-17-3P 133178-18-4P
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**133178-29-7P** 133178-30-0P **133178-31-1P**
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**133178-55-9P** **133178-56-0P** **133178-57-1P**
133178-58-2P **133178-59-3P** 133178-60-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antihypertensive)
IT 75-16-1, Methylmagnesium bromide 98-68-0, 4-Methoxybenzenesulfonyl chloride 124-40-3, Dimethylamine, reactions 1111-97-3, 3-Chloro-3-methyl-1-butyne 1558-82-3, Ethyl N-cyanoacetimidate 7664-41-7, Ammonia, reactions 10191-60-3, Dimethyl N-cyanoiminodithiocarbonate 26364-65-8, 2-(Cyanoimino)thiazolidine 33143-29-2 54356-31-9, Ethyl N-cyanopropionimidate 54554-02-8 67104-97-6 133178-70-8, Methyl N-cyano-4-chlorobutyrimidate
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of antihypertensives)
IT **133178-23-1P** **133178-24-2P** **133178-25-3P**
**133178-26-4P** **133178-27-5P** **133178-28-6P**
**133178-29-7P** **133178-31-1P** **133178-32-2P**
**133178-33-3P** **133178-34-4P** **133178-35-5P**
**133178-36-6P** **133178-37-7P** **133178-38-8P**
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**133178-44-6P** **133178-47-9P** **133178-48-0P**
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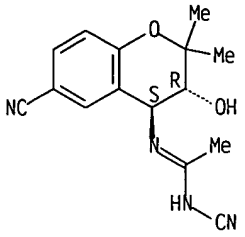
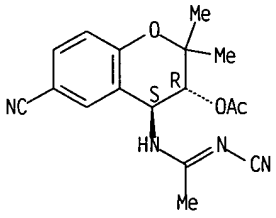
**133178-55-9P** **133178-56-0P** **133178-57-1P**
**133178-59-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antihypertensive)
RN 133178-23-1 HCAPLUS
CN Ethanimidamide, N-cyano-N'-(6-cyano-3,4-dihydro-3-hydroxy-2,2-dimethyl-2H-1-benzopyran-4-yl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.

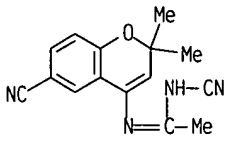


RN 133178-24-2 HCAPLUS
CN Ethanimidamide, N-[3-(acetyloxy)-6-cyano-3,4-dihydro-2,2-dimethyl-2H-1-benzopyran-4-yl]-N'-cyano-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.

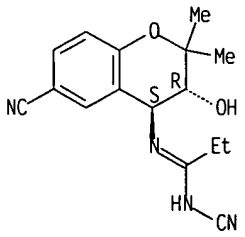


RN 133178-25-3 HCAPLUS
CN Ethanimidamide, N-cyano-N'-(6-cyano-2,2-dimethyl-2H-1-benzopyran-4-yl)- (9CI) (CA INDEX NAME)



RN 133178-26-4 HCAPLUS
CN Propanimidamide, N-cyano-N'-(6-cyano-3,4-dihydro-3-hydroxy-2,2-dimethyl-2H-1-benzopyran-4-yl)-, trans- (9CI) (CA INDEX NAME)

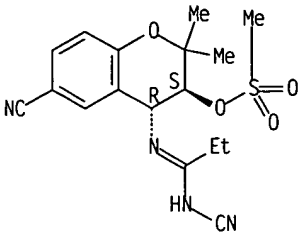
Relative stereochemistry.
Double bond geometry unknown.



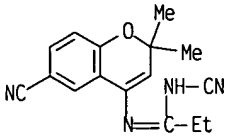
RN 133178-27-5 HCAPLUS
CN Propanimidamide, N-cyano-N'-[6-cyano-3,4-dihydro-2,2-dimethyl-3-[(methylsulfonyl)oxy]-2H-1-benzopyran-4-yl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

Double bond geometry unknown.

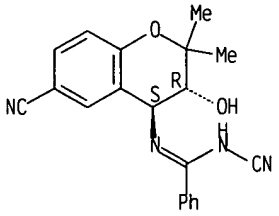


RN 133178-28-6 HCAPLUS
CN Propanimidamide, N-cyano-N'-(6-cyano-2,2-dimethyl-2H-1-benzopyran-4-yl)-(9CI) (CA INDEX NAME)



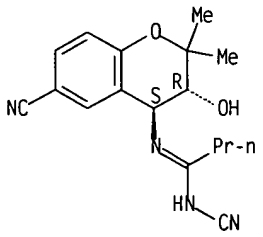
RN 133178-29-7 HCAPLUS
CN Benzenecarboximidamide, N-cyano-N'-(6-cyano-3,4-dihydro-3-hydroxy-2,2-dimethyl-2H-1-benzopyran-4-yl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



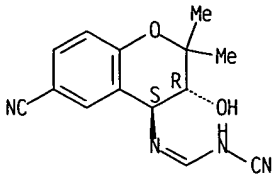
RN 133178-31-1 HCAPLUS
CN Butanimidamide, N-cyano-N'-(6-cyano-3,4-dihydro-3-hydroxy-2,2-dimethyl-2H-1-benzopyran-4-yl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



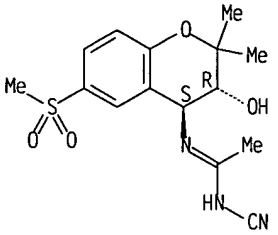
RN 133178-32-2 HCAPLUS
CN Methanimidamide, N-cyano-N'-(6-cyano-3,4-dihydro-3-hydroxy-2,2-dimethyl-2H-1-benzopyran-4-yl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



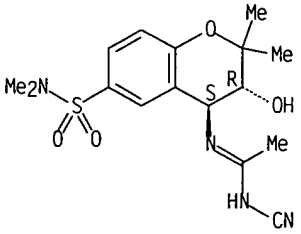
RN 133178-33-3 HCAPLUS
CN Ethanimidamide, N-cyano-N'-[3,4-dihydro-3-hydroxy-2,2-dimethyl-6-(methylsulfonyl)-2H-1-benzopyran-4-yl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



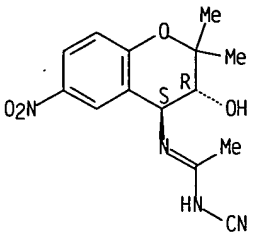
RN 133178-34-4 HCAPLUS
CN Ethanimidamide, N-cyano-N'-[6-[(dimethylamino)sulfonyl]-3,4-dihydro-3-hydroxy-2,2-dimethyl-2H-1-benzopyran-4-yl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



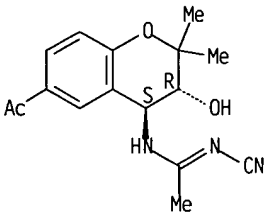
RN 133178-35-5 HCAPLUS
CN Ethanimidamide, N-cyano-N'-(3,4-dihydro-3-hydroxy-2,2-dimethyl-6-nitro-2H-1-benzopyran-4-yl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



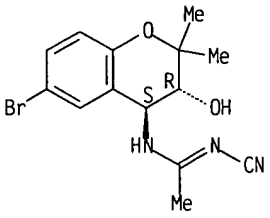
RN 133178-36-6 HCAPLUS
CN Ethanimidamide, N-(6-acetyl-3,4-dihydro-3-hydroxy-2,2-dimethyl-2H-1-benzopyran-4-yl)-N'-cyano-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



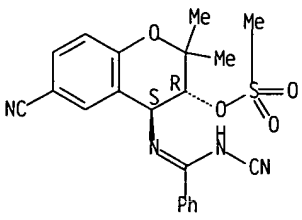
RN 133178-37-7 HCAPLUS
CN Ethanimidamide, N-(6-bromo-3,4-dihydro-3-hydroxy-2,2-dimethyl-2H-1-benzopyran-4-yl)-N'-cyano-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



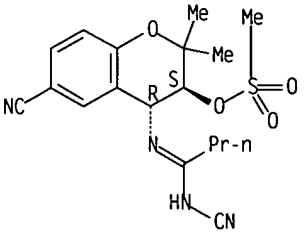
RN 133178-38-8 HCAPLUS
CN Benzenecarboximidamide, N-cyano-N'-[6-cyano-3,4-dihydro-2,2-dimethyl-3-[(methylsulfonyl)oxy]-2H-1-benzopyran-4-yl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.

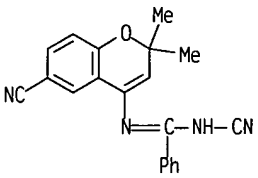


RN 133178-39-9 HCAPLUS
CN Butanimidamide, N-cyano-N'-[6-cyano-3,4-dihydro-2,2-dimethyl-3-[(methylsulfonyl)oxy]-2H-1-benzopyran-4-yl]-, trans- (9CI) (CA INDEX NAME)

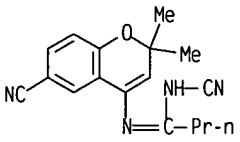
Relative stereochemistry.
Double bond geometry unknown.



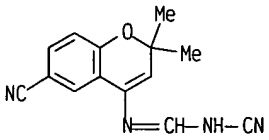
RN 133178-41-3 HCAPLUS
CN Benzenecarboximidamide, N-cyano-N'-(6-cyano-2,2-dimethyl-2H-1-benzopyran-4-yl)- (9CI) (CA INDEX NAME)



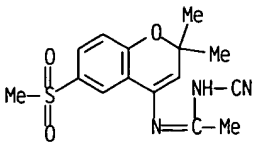
RN 133178-42-4 HCAPLUS
CN Butanimidamide, N-cyano-N'-(6-cyano-2,2-dimethyl-2H-1-benzopyran-4-yl)- (9CI) (CA INDEX NAME)



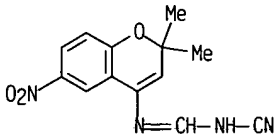
RN 133178-44-6 HCAPLUS
CN Methanimidamide, N-cyano-N'-(6-cyano-2,2-dimethyl-2H-1-benzopyran-4-yl)- (9CI) (CA INDEX NAME)



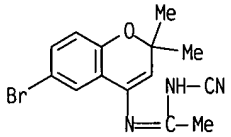
RN 133178-47-9 HCAPLUS
CN Ethanimidamide, N-cyano-N'-[2,2-dimethyl-6-(methylsulfonyl)-2H-1-benzopyran-4-yl]- (9CI) (CA INDEX NAME)



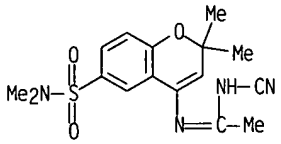
RN 133178-48-0 HCAPLUS
CN Methanimidamide, N-cyano-N'-(2,2-dimethyl-6-nitro-2H-1-benzopyran-4-yl)- (9CI) (CA INDEX NAME)



RN 133178-50-4 HCAPLUS
CN Ethanimidamide, N-(6-bromo-2,2-dimethyl-2H-1-benzopyran-4-yl)-N'-cyano- (9CI) (CA INDEX NAME)

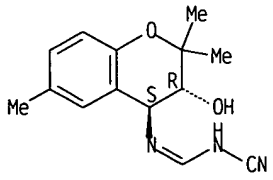


RN 133178-51-5 HCAPLUS
CN Ethanimidamide, N-cyano-N'-[6-[(dimethylamino)sulfonyl]-2,2-dimethyl-2H-1-benzopyran-4-yl]- (9CI) (CA INDEX NAME)



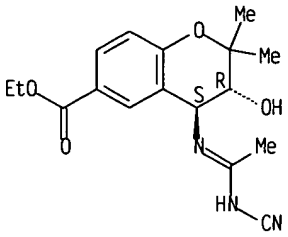
RN 133178-53-7 HCAPLUS
CN Methanimidamide, N-cyano-N'-(3,4-dihydro-3-hydroxy-2,2,6-trimethyl-2H-1-benzopyran-4-yl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



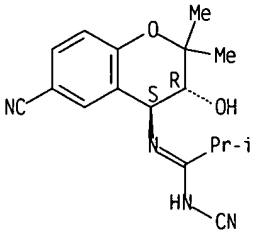
RN 133178-55-9 HCAPLUS
CN 2H-1-Benzopyran-6-carboxylic acid, 4-[[1-(cyanoamino)ethylidene]amino]-3,4-dihydro-3-hydroxy-2,2-dimethyl-, ethyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



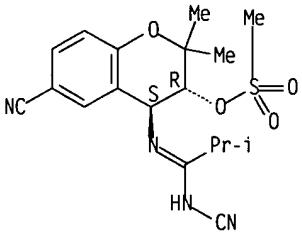
RN 133178-56-0 HCAPLUS
CN Propanimidamide, N-cyano-N'-(6-cyano-3,4-dihydro-3-hydroxy-2,2-dimethyl-2H-1-benzopyran-4-yl)-2-methyl-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.

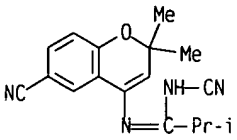


RN 133178-57-1 HCAPLUS
CN Propanimidamide, N-cyano-N'-[6-cyano-3,4-dihydro-2,2-dimethyl-3-[(methylsulfonyl)oxy]-2H-1-benzopyran-4-yl]-2-methyl-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



RN 133178-59-3 HCAPLUS
CN Propanimidamide, N-cyano-N'-(6-cyano-2,2-dimethyl-2H-1-benzopyran-4-yl)-2-methyl- (9CI) (CA INDEX NAME)



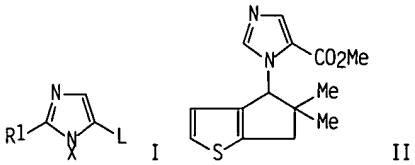
L24 ANSWER 14 OF 18 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1990:216931 HCAPLUS
DN 112:216931
ED Entered STN: 09 Jun 1990
TI Imidazolylcyclopentathiophenes as herbicides
IN Schneider, Hans Dieter
PA Ciba-Geigy A.-G., Switz.
SO Eur. Pat. Appl., 60 pp.
CODEN: EPXXDW
DT Patent
LA German
IC ICM C07D409-04
ICS A01N043-50; C07D333-78; A01N043-12
CC 28-9 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 5
FAN.CNT 1

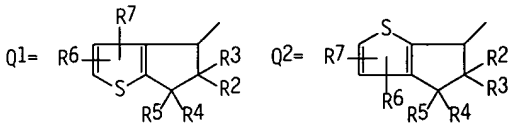
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI EP 347378 | A1 | 19891220 | EP 1989-810423 | 19890605 <-- |
| R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| US 4992090 | A | 19910212 | US 1989-361088 | 19890605 <-- |
| ZA 8904414 | A | 19900228 | ZA 1989-4414 | 19890612 <-- |
| JP 02042077 | A2 | 19900213 | JP 1989-150331 | 19890613 <-- |
| PRAI CH 1988-2251 | A | 19880613 <-- | | |

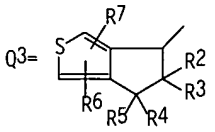
CLASS

| PATENT NO. | CLASS | PATENT FAMILY CLASSIFICATION CODES |
|---|---|---|
| EP 347378 | ICM | C07D409-04 |
| | ICS | A01N043-50; C07D333-78; A01N043-12 |

OS MARPAT 112:216931
GI




AB The title compds. (I; X = Q1-Q3; R1 = H, SH; L = cyano, CO2H, CH2OH, alkoxycarbonyl, carbamoyl, oximino, etc.; R2, R3 = H, alkyl, alkenyl, alkynyl, R2R3 = alkylene; R4, R5 = H, alkyl; R6 = H, cyano, halo, alkyl, haloalkyl, NO2; R7 = H, halo, alkyl) were prepared Thus, N-cyano-N'-(5,6-dihydro-5,5-dimethyl-4H-cyclopenta[b]thiophen-2-yl)formamidine (prepn given) was stirred 15 h with KOCMe3 in Me2SO at room temperature BrCH2CO2Me was added and the mixture was stirred 2 h to give the N'-methoxycarbonylmethylformamidine. The latter was refluxed 16 h with

30% NaOMe in MeOH to give Me 4-amino-1-(5,6-dihydro-5,5-dimethyl-4H-cyclopenta[b]thiophen-4-yl)-5-imidazolecarboxylate. The latter was stirred 16 h with Me3CONO to give imidazole II. II at 4 kg/ha postemergent gave complete control of Avera and Lolium.

ST imidazolylcyclopentathiophene prepn herbicide; cyclopentathiophene imidazolyl prepn herbicide

IT Herbicides
(imidazolylcyclopentathiophenes)

IT 4428-98-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation of, with aminocyclopentathiophene derivative)

IT 96-32-2, Methyl bromoacetate
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation of, with thienylcyanoformamdine)

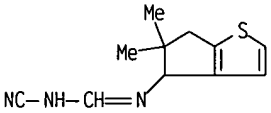
IT 127080-25-5P 127080-26-6P 127080-27-7P 127080-28-8P 127080-29-9P 127080-30-2P 127080-31-3P 127101-99-9P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as herbicide)

IT 13196-30-0P 14185-76-3P 26554-84-7P 127080-32-4P 127080-33-5P 127080-34-6P **127080-35-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as herbicide intermediate)

IT **127080-35-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as herbicide intermediate)

RN 127080-35-7 HCAPLUS

CN Methanimidamide, N-cyano-N'-(5,6-dihydro-5,5-dimethyl-4H-cyclopenta[b]thien-4-yl)- (9CI) (CA INDEX NAME)




L24 ANSWER 15 OF 18 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1989:632817 HCAPLUS

DN 111:232817

ED Entered STN: 23 Dec 1989

TI Preparation of 1-substituted imidazole-5-carboxylates as herbicides and plant growth regulators

IN Toepfl, Werner

PA Ciba-Geigy A.-G., Switz.

SO Eur. Pat. Appl., 62 pp.
CODEN: EPXXDW

DT Patent

LA German

IC ICM C07D233-90
ICS C07D409-04; C07D405-04; C07D401-04; A01N043-50; A01N043-16; A01N043-10; A01N043-08; A01N043-42

CC 28-9 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 5

FAN.CNT 1

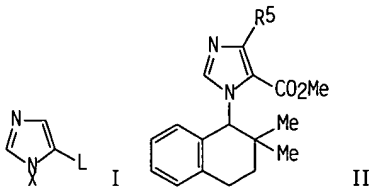
| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | EP 314852 | A2 | 19890510 | EP 1987-810755 | 19871214 <-- |
| | EP 314852 | A3 | 19900418 | | |
| | EP 314852 | B1 | 19931118 | | |
| | R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| | IL 84809 | A1 | 19921201 | IL 1987-84809 | 19871214 <-- |

| | | | | | |
|---|---|---|---|---|---|
| | AU 8782554 | A1 | 19890511 | AU 1987-82554 | 19871215 <-- |
| | AU 606907 | B2 | 19910221 | | |
| | ZA 8709396 | A | 19890726 | ZA 1987-9396 | 19871215 <-- |
| | CA 1294612 | A1 | 19920121 | CA 1987-554454 | 19871216 <-- |
| | BR 8706929 | A | 19890718 | BR 1987-6929 | 19871218 <-- |
| | US 4921955 | A | 19900501 | US 1987-136167 | 19871218 <-- |
| | JP 01135772 | A2 | 19890529 | JP 1987-322626 | 19871219 <-- |
| PRAI | CH 1987-4331 | A | 19871106 <-- | | |

CLASS

| PATENT NO. | CLASS | PATENT FAMILY CLASSIFICATION CODES |
|---|---|---|
| EP 314852 | ICM | C07D233-90 |
| | ICS | C07D409-04; C07D405-04; C07D401-04; A01N043-50; A01N043-16; A01N043-10; A01N043-08; A01N043-42 |

GI




I II

AB The title compds. [I; L = CO2R1, CONR2R3, CONR4NHR3, CN, etc., X = (substituted) 1-indanyl, 1-tetrahydronaphtholinyl, 5-benzocycloheptenyl, 4-tetrahydrobenzothienyl, 4-tetrahydrobenzofuryl, 5-tetrahydroquinolyl, 9,10-dihydro-9-anthracenyl, 9H-fluoren-9-yl, 5-dibenzo[a,d]cycloheptenyl, 1-dihydronaphthalinyl, etc.; R1 = H, C1-7 alkyl, C3-7 alkenyl, alkynyl, cycloalkyl, alkoxyalkyl, arylalkyl; R2-R4 = H, C1-5 alkyl, C3-5 alkenyl, alkynyl, C3-7 cycloalkoxyl, aryl, etc.; R2R3N = (substituted) piperidinyl, pyrrolidinyl, 2-oxopiperidinyl, 2-oxopyrrolidinyl, morpholinyl, thiomorpholino, piperazinyl, alkyl piperazinyl], useful as herbicides and plant growth regulators (no data), were prepared MeOCOCH2N(Q)CH:NCN (Q = 2,2-dimethyl-1,2,3,4-tetrahydronaphth-1-yl) (preparation given) in MeOH was refluxed with NaOMe for 2 h to give 4-aminoimidazole II (R5 = NH2). The latter in HOAc/HOCOEt was treated with H3PO4 and NaNO2 at 0.degree. to give a diazonium salt solution, which was treated with H3PO2 to give II (R5 = H).

ST arylimidazolecarboxylate prepn herbicide; imidazolecarboxylate aryl prepn herbicide; plant growth regulator arylimidazolecarboxylate prepn

IT Herbicides
(arylimidazolecarboxylates)

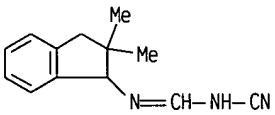
IT Plant hormones and regulators
RL: RCT (Reactant); RACT (Reactant or reagent)
(arylimidazolecarboxylates)

IT 4428-98-2, Ethoxymethylenecyanamide
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation of, with aminotetrahydronaphthalene derivative, in preparation of agrochem.)

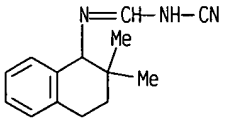
IT 96-32-2, Methyl bromoacetate
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation of, with arylaminomethylenecyanamide, in preparation of agrochem.)

IT 87-62-7, 2,6-Dimethylaniline 91-00-9, Diphenylmethylamine 110324-26-0 119084-51-4 123981-65-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation of, with ethoxymethylenecyanamide, in preparation of agrochem.)

IT 18391-58-7P 18438-41-0P 69840-18-2P 88490-47-5P 88490-49-7P
101976-67-4P 110323-21-2P 110323-47-2P 110323-50-7P 110323-68-7P
110323-73-4P 110323-75-6P 110323-95-0P 110323-97-2P 110324-02-2P
110324-04-4P 119293-81-1P 119293-82-2P 119293-83-3P 119293-86-6P
123981-29-3P 123981-31-7P 123981-32-8P 123981-33-9P 123981-34-0P
123981-35-1P 124000-03-9P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as herbicide and plant growth regulator)
IT 105364-24-7P **119084-54-7P 123981-36-2P** 123981-37-3P
**123981-38-4P** 123981-39-5P 123981-40-8P 123981-41-9P
123981-42-0P 123981-43-1P 123981-44-2P 123981-45-3P 123981-46-4P
123981-47-5P 123981-48-6P 123981-49-7P 123981-50-0P 123981-51-1P
123981-52-2P 123981-53-3P 123981-54-4P 123981-55-5P 123981-56-6P
123981-57-7P 123981-58-8P 123981-59-9P 123981-61-3P 123981-63-5P
123981-64-6P 123995-90-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for herbicide and plant growth regulator)
IT 123981-66-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of arylimidazolecarboxylate agrochem.)
IT **119084-54-7P 123981-36-2P 123981-38-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for herbicide and plant growth regulator)
RN 119084-54-7 HCAPLUS
CN Methanimidamide, N-cyano-N'-(2,3-dihydro-2,2-dimethyl-1H-inden-1-yl)- (9CI) (CA INDEX NAME)

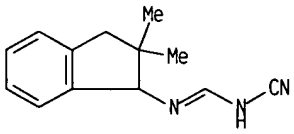



RN 123981-36-2 HCAPLUS
CN Methanimidamide, N-cyano-N'-(1,2,3,4-tetrahydro-2,2-dimethyl-1-naphthalenyl)- (9CI) (CA INDEX NAME)




RN 123981-38-4 HCAPLUS
CN Methanimidamide, N-cyano-N'-(2,3-dihydro-2,2-dimethyl-1H-inden-1-yl)-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).
Double bond geometry unknown.




L24 ANSWER 16 OF 18 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1989:594762 HCAPLUS

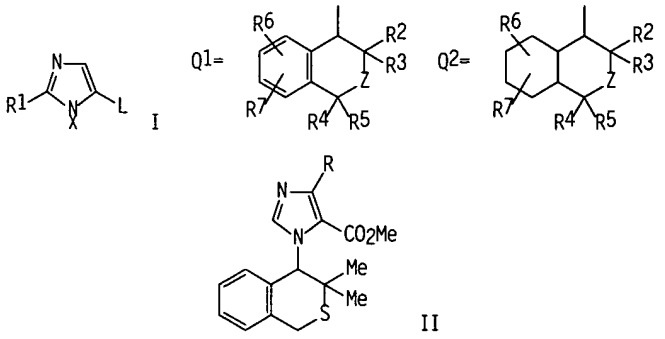
DN 111:194762
ED Entered STN: 25 Nov 1989
TI Preparation of imidazole derivatives as herbicides
IN Schneider, Hans Dieter; Lutz, William R.; Szczepanski, Henry; Topfl, Werner
PA Ciba-Geigy A.-G., Switz.; Janssen Pharmaceutica N. V.
SO Eur. Pat. Appl., 42 pp.
CODEN: EPXXDW
DT Patent
LA German
IC ICM C07D405-04
ICS C07D409-04; C07D401-04; C07D217-24; C07D221-20; C07D311-76; C07D311-96; C07D335-06; C07D335-04
CC 28-9 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 5
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | EP 305332 | A2 | 19890301 | EP 1988-810562 | 19880817 <-- |
| | EP 305332 | A3 | 19900404 | | |
| | R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| | US 4904300 | A | 19900227 | US 1988-233299 | 19880817 <-- |
| | ZA 8806310 | A | 19890530 | ZA 1988-6310 | 19880825 <-- |
| | JP 01121286 | A2 | 19890512 | JP 1988-212282 | 19880826 <-- |
| PRAI | CH 1987-3262 | A | 19870826 <-- | | |

CLASS

| PATENT NO. | CLASS | PATENT FAMILY CLASSIFICATION CODES |
|---|---|---|
| EP 305332 | ICM | C07D405-04 |
| | ICS | C07D409-04; C07D401-04; C07D217-24; C07D221-20; C07D311-76; C07D311-96; C07D335-06; C07D335-04 |

GI




AB The title compds. [I; R1 = H, SH; L = cyano, CO2R8, CONR9R10, etc.; X = heterocyclyl group Q1, Q2; R2, R3 = H, alkyl, alkenyl, alkynyl; R2R3 = alkylene; R4, R5 = H, alkyl; R4R5 = O; R6 = H, alkoxy, halo, alkyl; R7 = H, alkoxy, halo, alkyl, cyano, haloalkyl, haloalkoxy, (halo)alkylthio, NO2; R8 = alkyl, cycloalkyl, alkoxyalkyl, PhCH2, etc.; R9 = H, alkyl, alkoxy, Ph, PhCH2, etc.; R10 = H, alkyl; NR9R10 = pyrrolidino, piperidino, morpholino; Z = O, S, SO, SO2, NR14; R14 = H, alkyl, alkanoyl, alkoxycarbonyl, CO2CH2Ph, Bz, PhCH2] were prepared QNH2 (Q = Q1, R2 = R3 = Me, R4-R7 = H, Z = S) (preparation given) was stirred 2 h with EtOCH:NCN to give QNHCH:NCN which was stirred 2 h with KOCMe3 in DMSO, followed by addition of BrCH2CO2Me and 16 h stirring, to give NCN:CHNQCH2CO2Me. The latter was refluxed 16 h with NaOMe in MeOH to give

isothiochromanylimidazolecarboxylate II (R = NH2) which was diazotized and hydrolyzed to give II (R = H) which gave complete control of Echinochloa crus galli and Monarcharia vaginalis at 4 kg/ha.

ST imidazole deriv prepn herbicide

IT Cereal
Corn
Rice
(herbicides for, imidazole derivs. as)

IT Herbicides
(imidazole derivs.)

IT 123470-03-1P **123470-04-2P** 123470-05-3P 123470-06-4P
123470-16-6P 123470-17-7P 123470-18-8P 123470-19-9P 123490-68-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of herbicides)

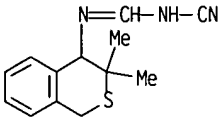
IT 123469-95-4P 123469-96-5P 123469-97-6P 123469-98-7P 123469-99-8P
123470-00-8P 123470-01-9P 123470-02-0P 123470-07-5P 123470-08-6P
123470-09-7P 123470-10-0P 123470-11-1P 123470-12-2P 123470-13-3P
123470-14-4P 123470-15-5P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as herbicide)

IT 96-32-2, Methylbromoacetate 4428-98-2 16994-33-5, 3,3-Dimethylisothiochroman-4-one
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of herbicides)

IT **123470-04-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of herbicides)

RN 123470-04-2 HCAPLUS

CN Methanimidamide, N-cyano-N'-(3,4-dihydro-3,3-dimethyl-1H-2-benzothiopyran-4-yl)- (9CI) (CA INDEX NAME)




L24 ANSWER 17 OF 18 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1989:95241 HCAPLUS

DN 110:95241

ED Entered STN: 17 Mar 1989

TI Preparation and testing of 1,5-disubstituted 1H-imidazoles as herbicides

IN De Bruyn, Marcel Frans Leopold; Van Lommen, Guy Rosalia Eugene; Lutz, William R.

PA Janssen Pharmaceutica N. V., Belg.; Ciba-Geigy A.-G.

SO Eur. Pat. Appl., 54 pp.
CODEN: EPXXDW

DT Patent

LA English

IC ICM C07D233-84
ICS C07D233-60; C07D233-61; C07D401-06; C07D405-04; C07D405-14; C07D409-04; C07D401-04; A01N043-50; A01N043-36; A01N043-16

CC 28-9 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 5

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | EP 289066 | A1 | 19881102 | EP 1988-200586 | 19880329 <-- |

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        R:  AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE
     US 4878940          A     19891107    US 1988-173511         19880325 <--
     AU 8813846          A1    19881006    AU 1988-13846          19880329 <--
     AU 598652           B2    19900628
     DK 8801798          A     19881003    DK 1988-1798           19880330 <--
     ZA 8802346          A     19891227    ZA 1988-2346           19880331 <--
     JP 01019070         A2    19890123    JP 1988-78301          19880401 <--
     US 4994103          A     19910219    US 1989-420248         19891012 <--
PRAI GB 1987-7856        A     19870402  <--
     GB 1987-29798       A     19871222  <--
     US 1988-173511      A3    19880325  <--
CLASS
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 EP 289066       ICM    C07D233-84
                 ICS    C07D233-60; C07D233-61; C07D401-06; C07D405-04;
                        C07D405-14; C07D409-04; C07D401-04; A01N043-50;
                        A01N043-36; A01N043-16
OS   MARPAT 110:95241
GI
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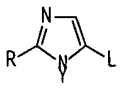


I

AB The title compds. [I; R = H, SH; L = C(:X)R1, CR1(ZR2)2, etc.; X = NH, O, S; R1 = H, C1-7 alkyl, C3-7 cycloalkyl, fluoroalkyl, aralkyl, aryl; R2 = (substituted) C1-5 alkyl, C5-7 cycloalkyl, C1-5 alkoxy, alkylthio, halo; R22 = (substituted) CH2CH2, CH2CH2CH2; Y = 1-indanyl, tetrahydro-1-naphthalenyl, benzocyclohepten-5-yl, tetrahydro-4-benzothienyl, etc.], useful as herbicides, were prepared N-(2-Oxo-2-phenylethyl)-N-(1,2,3,4-tetrahydro-1-npahthalenyl)formamide in THF was treated with NaH in THF; after 20 min MeO2CH was added and the mixture was stirred overnight. The product was stirred with KSCN/HCl/MeOH/H2O to give 11.3% [2-mercapto-1-(1,2,3,4-tetrahydro-1-naphthalenyl)-1H-imidazol-5-yl]phenylmethanone. The latter was stirred in a 1:1 mixture of H2O/concentrate HNO3 at 50.degree. for 30 min to give 8.4% phenyl[1-(1,2,3,4-tetrahydro-1-naphthalenyl)-1H-imidazol-5-yl]methanone-HNO3. At 4 kg/ha preemergent I gave complete control of Echinochloa crus-galli while leaving maize unaffected.

ST imidazole disubstituted prepn herbicide

IT Corn
Rice
(herbicides for, imidazole derivs. as)

IT Herbicides
(imidazole derivs.)

IT 110323-21-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(Grignard reaction of, in preparation of herbicide)

IT 4428-98-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation of, with dimethylindanamine, in preparation of herbicide)

IT 118259-95-3P 118259-96-4P 119084-30-9P 119084-31-0P 119084-32-1P
119084-34-3P 119084-35-4P 119084-36-5P 119084-37-6P 119084-39-8P
119084-40-1P 119084-41-2P 119084-42-3P 119084-43-4P 119084-44-5P
119084-45-6P 119084-46-7P 119084-47-8P 119084-48-9P 119084-49-0P
119084-56-9P 119084-57-0P 119084-58-1P 119084-59-2P 119084-60-5P
119084-61-6P 119084-62-7P 119084-63-8P 119084-64-9P 119084-65-0P
119084-66-1P 119084-67-2P 119084-69-4P 119084-70-7P 119084-72-9P
119084-73-0P 119084-74-1P 119084-75-2P 119084-77-4P 119084-78-5P
119084-79-6P 119084-81-0P 119084-82-1P 119084-83-2P 119084-85-4P

119084-86-5P 119084-87-6P 119084-88-7P 119084-89-8P 119084-91-2P
119084-92-3P 119084-94-5P 119084-95-6P 119084-97-8P 119084-99-0P
119085-01-7P 119085-02-8P 119085-03-9P 119085-04-0P 119085-05-1P
119085-06-2P 119085-07-3P 119085-08-4P 119085-09-5P 119085-10-8P
119085-11-9P 119085-12-0P 119085-13-1P 119085-14-2P 119085-15-3P
119085-16-4P 119085-17-5P 119085-18-6P 119085-19-7P 119085-20-0P
119085-21-1P 119085-22-2P 119085-23-3P 119085-24-4P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as herbicide)

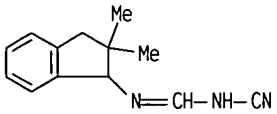
IT 119084-52-5P 119084-53-6P **119084-54-7P** 119084-55-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for herbicide)

IT 18438-41-0 118260-49-4 118582-12-0 119084-50-3 119084-51-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of herbicide)

IT **119084-54-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for herbicide)

RN 119084-54-7 HCAPLUS

CN Methanimidamide, N-cyano-N'-(2,3-dihydro-2,2-dimethyl-1H-inden-1-yl)-(9CI) (CA INDEX NAME)




L24 ANSWER 18 OF 18 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1989:75497 HCAPLUS

DN 110:75497

ED Entered STN: 04 Mar 1989

TI Preparation of tricyclic 1H-imidazole-5-carboxylates as herbicides

IN Lutz, William R.; Verschueren, Wim G.; Fischer, Hanspeter; Van Lommen, Guy R. E.

PA Janssen Pharmaceutica N. V., Belg.

SO Eur. Pat. Appl., 29 pp.
CODEN: EPXXDW

DT Patent

LA English

IC ICM C07D233-90
ICS C07D401-04; C07D405-04; C07D409-04; A01N043-50

ICA C07C101-18; C07C103-48; C07C087-455; C07C121-43

CC 28-9 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 5

FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI EP 275603 | A1 | 19880727 | EP 1987-202588 | 19871221 <-- |
| R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| US 4830664 | A | 19890516 | US 1987-134440 | 19871217 <-- |
| DK 8706821 | A | 19880624 | DK 1987-6821 | 19871222 <-- |
| JP 63166869 | A2 | 19880711 | JP 1987-323047 | 19871222 <-- |
| HU 46677 | A2 | 19881128 | HU 1987-5955 | 19871222 <-- |
| HU 198188 | B | 19890828 | | |
| ZA 8709613 | A | 19890830 | ZA 1987-9613 | 19871222 <-- |
| IL 84916 | A1 | 19910718 | IL 1987-84916 | 19871222 <-- |
| AU 8782976 | A1 | 19880623 | AU 1987-82976 | 19871223 <-- |
| AU 595085 | B2 | 19900322 | | |
| BR 8707032 | A | 19880802 | BR 1987-7032 | 19871223 <-- |

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     US 4927449          A     19900522    US 1988-289947         19881227 <--
PRAI GB 1986-30759       A     19861223  <--
     US 1987-134440      B3    19871217  <--
CLASS
 PATENT NO.      CLASS  PATENT FAMILY CLASSIFICATION CODES
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 EP 275603       ICM    C07D233-90
                 ICS    C07D401-04; C07D405-04; C07D409-04; A01N043-50
                 ICA    C07C101-18; C07C103-48; C07C087-455; C07C121-43
OS   MARPAT 110:75497
GI   For diagram(s), see printed CA Issue.
AB   The title compds. [I; A = alkylene, cycloalkanediyl; R1 = H, SH; R2 = H,
     alkyl, alkoxyalkyl, arylalkyl, etc.; R3-R6 = halo, (un)substituted alkyl,
     alkoxy, aryl, etc.; R7, R8 = H, alkyl, alkoxy, halo, etc.; Y = O, SOm,
     NR9, CH2; R9 = H, alkyl, alkanoyl, 4-MeC6H4SO2; m = 0-2; n = 1-3] were
     prepared  2,3,3A,8a-tetrahydrocyclopenta[a]inden-8(1H)-one and
     HCl.cntdot.H2NCH2CO2Me in MeOH containing KOAc and thiophene were hydrogenated
     over Pd/C to give RNHCH2CO2Me (R = 1,2,3,3a,8,8a-
     hexahydrocyclopenta[a]inden-8-yl) which was stirred with HCO2H in Ac2O to
     give RN(CHO)CO2Me.  The latter was stirred overnight with HCO2Me in THF
     containing NaH and the product was stirred overnight at 60.degree. with KSCN
     and HCl in aqueous MeOH to give cyclopentaindenylimidazole II which gave
     complete kill of Echinochloa crus galli at 4 kg/ha.
ST   imidazolecarboxylate tricyclyl prepn herbicide
IT   Rice
        (herbicides for, tricycylic imidazolecarboxylates)
IT   Herbicides
        (tricyclic imidazolecarboxylates)
IT   118788-14-0P   118788-15-1P   118788-17-3P   118788-18-4P   118788-20-8P
     118788-21-9P 118788-22-0P   118788-23-1P   118788-24-2P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (preparation and reaction of, in preparation of herbicides)
IT   118788-12-8P   118788-13-9P   118788-26-4P   118788-27-5P   118788-29-7P
     118788-30-0P   118788-32-2P   118788-33-3P   118788-34-4P   118788-35-5P
     118788-36-6P   118788-37-7P   118788-38-8P   118788-39-9P
     RL: AGR (Agricultural use); BAC (Biological activity or effector, except
     adverse); BSU (Biological study, unclassified); SPN (Synthetic
     preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
        (preparation of, as herbicide)
IT   96-32-2   1203-67-4   3084-00-2   5680-79-5   65226-98-4   118788-16-2
     118788-19-5   118788-23-1   118788-40-2
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (reaction of, in preparation of herbicides)
IT   118788-22-0P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (preparation and reaction of, in preparation of herbicides)
RN   118788-22-0  HCAPLUS
CN   Methanimidamide, N-cyano-N'-(1,2,3,4,4a,9,9a,10-octahydro-9-anthracenyl)-
     (9CI)  (CA INDEX NAME)
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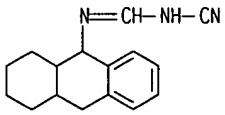


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